Amendments to the Sequence Listing

After page 151, please insert the Sequence Listing into the application.

Amendments to the Specification

Material added is indicated by underlining.

Please replace paragraph 3 on page 4 with the following amended paragraph:

In WO 90/09162 38 peptidic inhibitors are presented along with their IC_{50} values (example 2, 13, 23, 31, 91, 106, 111, 117, 131, 150, 165, 182, 188, 202, 213, 220, 229, 245, 247, 249, 279, 282, 295, 296, 305, 316, 338, 348, 377, 402, 404, 409, 421, 424, 432, 445, 455, 460). Out of these peptides 37 peptides have a C-terminal arginine and only one peptide has a different C-terminal amino acid (tyrosine, example 305). The amino acid sequence of example 305 of WO 90/09162 is Ac-Phe-Lys-Ala-Cha-Ala-Leu-ala-Tyr-OH [SEQ ID NO: 1] and an IC_{50} value of 0.17 μM was shown for the binding. This is more than a ten-fold decrease in the affinity compared to other described peptides with a C-terminal Arg (e.g. Ac-Phe-Lys-Ala-Cha-Ala-Leu-N-Methyl(D)ala-Arg-OH (example 296) [SEQ ID NO: 2] and (N-Ethyl)Phe-Lys-Ala-Cha-Ala-Leu- N-Methyl(D)ala-Arg-OH (example 402) [SEQ ID NO: 3] with an IC_{50} value of 0.012 μM and 0.011 μM, respectively). In a functional assay as used in this application the tyrosine containing compound shows an IC_{50} value of 1.3 μM. Functional assays are generally more predictive for *in vivo* activities than binding assays. It becomes thus clear that the use of tyrosine as C-terminal amino acid did not lead to a peptide which could be used for the development of a pharmaceutically useable C5aR antagonist. This is possibly also the reason for the author not to describe further tyrosine containing peptides together with values for their activity.

Please replace the paragraph which spans page 4, paragraph 4 through page 5, paragraph 1 with the following amended paragraph:

In WO 92/12168 additional 20 peptides are described along with their IC_{50}values (binding to C5aR). 19 out of these peptides have a terminal arginin which can be in either the D or the L form. One peptide has a C-terminal phenylbutanoyl residue which could interact via hydrophobic interactions. This peptide (example 170) has the sequence (N-Methyl)Phe-Lys-Pro-cha-Phe-Phenylbutanoyl [SEQ ID NO: 4] and is said to have an IC50 value of only 2.6 μM which does not seem to be sufficient for use as a drug. An immediate comparison between the C-terminal

argininyl and phenylbutanoyl from this application is not possible since a directly comparable structure was not disclosed. Example 105 from WO 92/12168 ((N-Methyl)Phe-Lys-Pro-cha-ψ{CH_2-N($CH_2CH_2C_6H_5$)}-Arg-OH) [SEQ ID NO: 5] is the best suited compound for comparison with example 170. The IC_{50} value for this hexamere is 0.36 µM. This means the substitution of Arg leads to an activity decrease in this example, too.

Please replace paragraph 1 on page 6 with the following amended paragraph:

In WO 03/033528 single substitutions of various amino acids in the molecule Ac-Phe[Orn-Pro-cha-Trp-Arg] (compound 1) [SEQ ID NO: 6] are reported. A decrease of the affinity to the C5aR and a decrease in antagonistic potency is described for the substitution of the Arg with homoarginine (compound **44**), citrulline (compound **45**), lysine (Verbindung **47**), or canavanine (compound **47**). The reported IC_{50} values as a measure for affinity are 1.36 µM (**44**), 6 µM (**45**), and 24 µM (**47**), respectively. No IC_{50} value is reported for canavanine. This points to a significant decrease in the affinity to the C5areceptor due to these arginine substitutions (IC_{50} of **1**is 0.45 µM). Apart from the effects of charged arginine substitutions (homoarginine and lysine), in particular the strong decrease in binding strength upon exchange of the charged arginine (0.45 µM) by the uncharged citrulline (6 µM) is remarkable. The antagonistic activity is reduced even more (Arg: 0.028 µM, Cit: 0.690 µM). The significance of a positive charge is thus underlined by the fact that the guanidinium group (Arg) and the urea group (Cit) are bioisosteres and need a comparable space. This also reflects that the size of the side chain itself is not sufficient as a criterium for predicting the activity. WO 03/033528 sets forth that the arginine (**1**) substitution to citrulline (**45**) results in a compound with allegedly remarkable antagonistic properties (p. 44, line 28ff). However, the cut off rate for what is remarkable, is chosen arbitrarily and the significant 24-fold drop in activity underlines the in the prior art well known importance of the C-terminal arginine in the peptidic C5aR antagonists. The citrulline containing peptide **45** is by the way the only peptide that has no positive net charge under physiological conditions and for which a value for binding and the antagonistic activity is reported in WO 03/033528.

Please replace the paragraph which spans page 33, paragraph 2 through page 44, paragraph 1 with the following amended paragraph:

In an embodiment of any of the first to the tenth aspect of the present invention the compound is one of the following compounds:

No.	Compound
1	Ac-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 7]
2	Ac-Phe-[Orn-Hyp-cha-Trp-Phe]
3	$HOCH_2(CHOH)_4$-C=N-O-CH_2-CO-Phe-[Orn-Pro-cha-Trp-Nle]
4	X-Phe-[Orn-Pro-cha-Trp-Nle]; X = 2-acetamido-1-methyl-glucuronyl
5	Ac-Phe-[Orn-Hyp($COCH_2OCH_2CH_2OCH_2CH_2OCH_3$)-cha-Trp-Nle]
6	Ac-Phe-[Orn-Hyp(CONH-CH_2CH(OH)-CH_2OH)-cha-Trp-Nle]
20	Ac-Phe-[Orn-Pro-cha-Trp-Ecr]
28	Ac-Phe-[Orn-Pro-cha-Trp-Nle]
29	Ac-Phe-[Orn-Pro-cha-Trp-Met] [SEQ ID NO: 8]
31	Ac-Phe-[Orn-Pro-cha-Trp-Nva]
32	Ac-Phe-[Orn-Pro-cha-Trp-Hle]
33	Ac-Phe-[Orn-Pro-cha-Trp-Eaf]
34	Ac-Phe-[Orn-Pro-cha-Trp-Ebd]
35	Ac-Phe-[Orn-Pro-cha-Trp-Eag]
36	Ac-Phe-[Orn-Pro-cha-Trp-Pmf]
37	Ac-Phe-[Orn-Pro-cha-Trp-2Ni]
38	Ac-Phe-[Orn-Pro-cha-Trp-Thi]
41	Ph-CH_2-CH_2-CO-[Orn-Pro-cha-Trp-Nle]
42	H-Phe-[Orn-Pro-cha-Trp-Nle]

43	Ac-Lys-Phe-[Orn-Pro-cha-Trp-Nle] [SEQ ID NO: 9]
44	H-Phe-[Orn-Ser-cha-Trp-Nle]
51	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 10]
52	Ac-Phe-Orn-Aze-cha-Bta-Phe-NH_2
53	Ac-Phe-Orn-Pro-cha-Bta-2Ni-NH_2
54	Ac-Phe-Orn-Pro-cha-Bta-Cha-NH_2
55	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH_2
56	Ph-CH_2-[Orn-Pro-cha-Trp-Nle]
57	Ph-CH_2-[Orn-Pro-cha-Trp-Phe]
58	Ac-Phe-[Orn-Pro-cha-Trp-1Ni]
59	Ph-CH(OH)-CH_2-CO-[Orn-Pro-cha-Trp-Nle]
61	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 11]
62	Ac-Phe-Orn-Pro-cha-Bta-Phe-NH_2
64	Ac-Phe-Orn-Pro-cha-Trp-2Ni-NH_2
65	Ac-Phe-Orn-Pro-cha-Trp-Cha-NH_2
66	Ac-Thi-Orn-Aze-cha-Bta-Phe-NH_2
67	Ac-Thi-Orn-Pip-cha-Bta-Phe-NH_2
68	Ac-Phe-Orn-Pro-cha-Trp-Eap-NH_2
69	Me_2-Phe-Orn-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 12]
70	Ph_2-CH-CH_2-CO-Orn-Pro-cha-Trp-Phe-NH_2
71	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH_2
72	Ac-Phe-Orn-Pro-cha-Trp-NH-CH_2-CH_2-Ph
73	Ac-Phe-Orn-Aze-cha-Bta-NH-CH_2-CH_2-Ph
74	H-Phe-Orn-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 13]
75	H-Me-Phe-Orn-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 14]
76	Bu-NH-CO-Phe-Orn-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 15]
77	Ac-Thi-Orn-Pro-cha-Trp-Phe-NH_2
78	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH_2
79	Ac-Phe-Orn-Ala-cha-Trp-Phe-NH_2 [SEQ ID NO: 16]

80	Ac-Phe-Orn-Pro-cha-Trp-Thi-NH_2
81	Ac-Phe-Orn-Aze-cha-Pcf-Phe-NH_2
82	Ac-Phe-Orn(Ac)-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 17]
83	Ac-Phe-Orn-Aze-cha-Trp-Phe-NH_2
84	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 18]
85	Ph-NH-CO-Phe-Orn-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 19]
86	Bu-O-CO-Phe-Orn-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 20]
87	Ac-Phe-Lys-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 21]
88	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 22]
89	Ac-Phe-Gln-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 23]
92	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH_2
93	Ac-Phe-Orn-Hyp-cha-Trp-Phe-NH_2
94	Ac-Phe-Orn-Pro-cha-Trp-1Ni-NH_2
95	Ac-Phe-Orn-Aze-cha-Bta-Phe-NH-Me
96	CH_3-SO_2-Phe-Orn-Aze-cha-Bta-Phe-NH_2
99	Ac-Phe-Orn-Aze-cha-Pff-Phe-NH_2
100	Ac-Phe-Orn-Aze-cha-Mcf-Phe-NH_2
101	Ac-Phe-Orn(Ac)-Aze-cha-Bta-Phe-NH_2
102	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH_2
103	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 24]
104	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 25]
105	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH_2
106	3PP-Orn-Aze-cha-Bta-Phe-NH_2
107	Ac-Phe-Orn-Tic-cha-Trp-Phe-NH_2
108	Ac-Phe-Orn-Ser-cha-Trp-Phe-NH_2 [SEQ ID NO: 26]
109	Ac-Phe-Orn-Pro-chg-Trp-Phe-NH_2 [SEQ ID NO: 27]
110	Ac-Phe-Orn-Pro-hch-Trp-Phe-NH_2 [SEQ ID NO: 28]
111	Ac-Phe-Orn-Pro-cha-Trp-Phg-NH_2
112	Ac-Phe-Bta-Aze-cha-Bta-Phe-NH_2

113	Ac-Phe-Trp-Pro-cha-Bta-Phe-NH_2 [SEQ ID NO: 29]
115	Ac-Phe-Orn-Pip-cha-Trp-Phe-OH
116	Ac-Phe-Orn-Tic-cha-Trp-Phe-OH
117	Ac-Phe-Orn-Ser-cha-Trp-Phe-OH [SEQ ID NO: 30]
118	Ac-Phe-Orn-Pro-chg-Trp-Phe-OH [SEQ ID NO: 31]
119	Ac-Phe-Eec-Pro-cha-Bta-Phe-NH_2
120	Ac-Phe-Nle-Pro-cha-Bta-Phe-NH_2
121	Ac-Phe-Har-Pro-cha-Bta-Phe-NH_2
122	Ac-Phe-Arg-Pro-cha-Bta-Phe-NH_2 [SEQ ID NO: 32]
123	Ac-Phe-Cys(Acm)-Pro-cha-Bta-Phe-NH_2 [SEQ ID NO: 33]
124	Ac-Phe-Mpa-Pro-cha-Bta-Phe-NH_2
125	Ac-Eby-Orn-Pro-cha-Bta-Phe-NH_2
126	Ac-Phg-Orn-Pro-cha-Bta-Phe-NH_2
127	Ac-Phe-Paf-Pro-cha-Bta-Phe-NH_2
128	H_2N-CO-Phe-Orn-Pro-cha-Bta-Phe-NH_2
129	Me-O-CO-Phe-Orn-Pro-cha-Bta-Phe-NH_2
130	(-CO-CH_2-NH-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH_2
132	Ac-Phe-Orn-Pro-hch-Trp-Phe-OH [SEQ ID NO: 34]
133	(-CO-CH_2-CH_2-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH_2
134	^{t}Bu-CO-Phe-Orn-Pro-cha-Bta-Phe-NH_2
135	Ac-Lys-Phe-Orn-Aze-cha-Bta-Phe-NH_2
136	Ac-Gly-Phe-Orn-Aze-cha-Bta-Phe-NH_2
137	Ac-Arg-Phe-Orn-Aze-cha-Bta-Phe-NH_2
138	Ac-His-Phe-Orn-Aze-cha-Bta-Phe-NH_2
139	Ac-Ser-Phe-Orn-Aze-cha-Bta-Phe-NH_2
140	Ac-Guf-Phe-Orn-Aze-cha-Bta-Phe-NH_2
141	Ac-Dab-Phe-Orn-Aze-cha-Bta-Phe-NH_2
142	FH_2C-CO-Phe-Orn-Pro-cha-Bta-Phe-NH_2
143	Ac-Phe-Orn(Et_2)-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 35]
144	Ac-Phe-[Orn-Hyp-cha-Trp-Nle]

145	3PP-[Orn-Hyp-cha-Trp-Nle]
146	Ac-Phe-[Orn-Pro-cha-Trp-Tyr] [SEQ ID NO: 36]
147	Ac-Phe-[Orn-Pro-omf-Trp-Nle]
149	Ac-Phe-Orn-Pro-hle-Bta-Phe-NH_2
150	Ac-Phe-Arg(CH_2-CH_2)-Pro-cha-Bta-Phe-NH_2 [SEQ ID NO: 37]
151	Ac-Ala-Phe-Orn-Aze-cha-Bta-Phe-NH2
152	Ac-Arg-Phe-Orn-Aze-cha-Bta-Phe-NH2
153	Ac-Cit-Phe-Orn-Aze-cha-Bta-Phe-NH2
154	Ac-Gly-Phe-Orn-Aze-cha-Bta-Phe-NH2
155	Ac-Gly-Phe-Orn-Aze-chg-Bta-Phe-NH2
156	Ac-Gly-Phe-Orn-Aze-hch-Bta-Phe-NH2
157	Ac-Gly-Thi-Orn-Aze-cha-Bta-Phe-NH2
158	Ac-His-Phe-Orn-Aze-cha-Bta-Phe-NH2
159	Ac-Hyp-Phe-Orn-Aze-cha-Bta-Phe-NH2
160	Ac-Lys-Phe-Orn-Aze-cha-Bta-Phe-NH2
161	Ac-Mff-Orn-Pro-cha-Bta-Phe-NH2
162	Ac-Mff-Orn-Pro-hle-Bta-Phe-NH2
163	Ac-Mff-Orn-Pro-hle-Mcf-Mff-NH2
164	Ac-Mmy-Orn-Pro-hle-Pff-Phe-NH2
165	Ac-NMF-Orn-Pro-cha-Bta-Phe-NH2
166	Ac-Off-Orn-Pro-cha-Bta-Phe-NH2
167	Ac-Off-Orn-Pro-hle-Bta-Phe-NH2
168	Ac-Orn-Phe-Orn-Aze-cha-Bta-Phe-NH2
169	Ac-Pff-Orn-Pro-cha-Bta-Phe-NH2
170	Ac-Pff-Orn-Pro-hle-Bta-Phe-NH2
171	Ac-Pff-Orn-Pro-hle-Mcf-Pff-NH2
172	Ac-Phe-[Cys-Pro-cha-Bta-Phe-Cys]-NH2 [SEQ ID NO: 38]
173	Ac-Phe-[Orn-Asn-cha-Trp-Nle]
174	Ac-Phe-[Orn-Aze-cha-Trp-Nle]

175	Ac-Phe-[Orn-Chy-cha-Trp-Nle]
176	Ac-Phe-[Orn-HyA-cha-Trp-Phe]
177	Ac-Phe-[Orn-Hyp-hle-Bta-Phe]
178	Ac-Phe-[Orn-Hyp-hle-Mcf-Phe]
179	Ac-Phe-[Orn-Hyp-hle-Pff-Nle]
180	Ac-Phe-[Orn-Hyp-hle-Pff-Phe]
181	Ac-Phe-[Orn-Hyp-hle-Trp-Phe]
182	Ac-Phe-[Orn-Hyp-Mmf-Trp-Nle]
183	Ac-Phe-[Orn-Hyp-Mmf-Trp-Phe]
184	Ac-Phe-[Orn-NMD-cha-Trp-Nle]
185	Ac-Phe-[Orn-Pip-hle-Bta-Phe]
186	Ac-Phe-[Orn-Pro-cha-Pff-Nle]
187	Ac-Phe-[Orn-Pro-cha-Pff-Phe]
188	Ac-Phe-[Orn-Pro-cha-Trp-1Ni]
189	Ac-Phe-[Orn-Pro-cha-Trp-Cha]
190	Ac-Phe-[Orn-Pro-cha-Trp-Chg]
192	Ac-Phe-[Orn-Pro-cha-Trp-Ecr]
193	Ac-Phe-[Orn-Pro-cha-Trp-Leu] [SEQ ID NO: 39]
194	Ac-Phe-[Orn-Pro-cha-Trp-nle]
195	Ac-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 40]
196	Ac-Phe-[Orn-Pro-hle-Bta-Nle]
197	Ac-Phe-[Orn-Pro-hle-Bta-Phe]
198	Ac-Phe-[Orn-Pro-hle-Pff-Phe]
199	Ac-Phe-[Orn-Pro-hle-Trp-Nle]
200	Ac-Phe-[Orn-Ser-cha-Trp-Nle]
201	Ac-Phe-[Orn-Ser-cha-Trp-Nle]
202	Ac-Phe-[Orn-Ser-hle-Trp-Nle]
203	Ac-Phe-[Orn-Thr-cha-Trp-Nle]
204	Ac-Phe-[Orn-Tic-cha-Trp-Nle]
205	Ac-Phe-[Orn-Tic-cha-Trp-Nle]

206	Ac-Phe-Ala-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 41]
207	Ac-Phe-Arg-Pro-hle-Bta-Phe-NH2 [SEQ ID NO: 42]
208	Ac-Phe-Arg-Pro-hle-Mcf-Phe-NH2 [SEQ ID NO: 43]
209	Ac-Phe-Cit-Hyp-hle-Bta-Phe-NH2
210	Ac-Phe-Cit-Pro-cha-Bta-Phe-NH2
211	Ac-Phe-Cit-Pro-hle-Bta-Phe-NH2
212	Ac-Phe-Cit-Ser-hle-Bta-Phe-NH2
213	Ac-Phe-Dab-Aze-cha-Bta-Phe-NH2
214	Ac-Phe-Dab-Aze-hle-Bta-Phe-NH2
215	Ac-Phe-Dab-Pro-cha-Bta-Phe-NH2
216	Ac-Phe-Dap-Pro-cha-Bta-Phe-NH2
217	Ac-Phe-Ech-Pro-cha-Bta-Phe-NH2
218	Ac-Phe-Eep-Pro-cha-Bta-Phe-NH2
219	Ac-Phe-Fcn-Aze-cha-Bta-Phe-NH2
220	Ac-Phe-Fcn-Pro-cha-Bta-Phe-NH2
221	Ac-Phe-Fco-Pro-cha-Bta-Phe-NH2
222	Ac-Phe-Fco-Pro-cha-Bta-Phe-NH2
223	Ac-Phe-Fcp-Aze-cha-Bta-Phe-NH2
224	Ac-Phe-Ffa-Aze-cha-Bta-Phe-NH2
225	Ac-Phe-Ffa-Pro-cha-Bta-Phe-NH2
226	Ac-Phe-Ffa-Pro-hle-Bta-Phe-NH2
227	Ac-Phe-G23-Pro-cha-Bta-Phe-NH2
228	Ac-Phe-Guf-Pro-cha-Bta-Phe-NH2
229	Ac-Phe-Har-Aze-cha-Bta-Phe-NH2
230	Ac-Phe-His-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 44]
231	Ac-Phe-L22-Pro-cha-Bta-Phe-NH2
232	Ac-Phe-OrA-Pro-cha-Bta-Phe-NH2
233	Ac-Phe-OrE-Pro-cha-Bta-Phe-NH2
234	Ac-Phe-Orn-Aze-hle-Bta-Phe-NH2
235	Ac-Phe-Orn-Chy-cha-Bta-Phe-NH2

236	Ac-Phe-Orn-Chy-hle-Pff-Phe-NH2
237	Ac-Phe-Orn-G24-cha-Bta-Phe-NH2
238	Ac-Phe-Orn-G25-cha-Bta-Phe-NH2
239	Ac-Phe-Orn-G26-cha-Bta-Phe-NH2
240	Ac-Phe-Orn-G27-cha-Bta-Phe-NH2
241	Ac-Phe-Orn-G30-cha-Bta-Phe-NH2
242	Ac-Phe-Orn-G31-cha-Bta-Phe-NH2
243	Ac-Phe-Orn-Hse-cha-Bta-Phe-NH2
244	Ac-Phe-Orn-Hyp-hle-Bta-Phe-NH2
245	Ac-Phe-Orn-Hyp-hle-Pff-Phe-NH2
246	Ac-Phe-Orn-NMA-cha-Bta-Phe-NH2
247	Ac-Phe-Orn-NMS-cha-Bta-Phe-NH2
248	Ac-Phe-Orn-Pro-cha-1Ni-Phe-NH2
249	Ac-Phe-Orn-Pro-cha-Bta-1Ni-NH2
250	Ac-Phe-Orn-Pro-cha-Bta-Bhf-NH2
251	Ac-Phe-Orn-Pro-cha-Bta-Dff-NH2
252	Ac-Phe-Orn-Pro-cha-Bta-Eaa-NH2
253	Ac-Phe-Orn-Pro-cha-Bta-L19
254	Ac-Phe-Orn-Pro-cha-Bta-Mcf-NH2
255	Ac-Phe-Orn-Pro-cha-Bta-Mff-NH2
256	Ac-Phe-Orn-Pro-cha-Bta-NH-CH(CH2OH)-CH2-Ph
257	Ac-Phe-Orn-Pro-Cha-Bta-NH-NBn-CO-NH2
258	Ac-Phe-Orn-Pro-cha-Bta-Opa-NH2
259	Ac-Phe-Orn-Pro-cha-Bta-Pcf-NH2
260	Ac-Phe-Orn-Pro-cha-Bta-Pmf-NH2
261	Ac-Phe-Orn-Pro-cha-Bta-Thi-NH2
262	Ac-Phe-Orn-Pro-cha-Otf-Phe-NH2
263	Ac-Phe-Orn-Pro-ctb-Bta-Phe-NH2
264	Ac-Phe-Orn-Pro-ctb-Eaa-Phe-NH2
265	Ac-Phe-Orn-Pro-ctb-Mcf-Phe-NH2

266	Ac-Phe-Orn-Pro-ctb-Pff-Phe-NH2
267	Ac-Phe-Orn-Pro-hch-Trp-Phe-OH [SEQ ID NO: 45]
268	Ac-Phe-Orn-Pro-hle-1Ni-Phe-NH2
269	Ac-Phe-Orn-Pro-hle-6FW-Phe-NH2
270	Ac-Phe-Orn-Pro-hle-Bta-1Ni-NH2
271	Ac-Phe-Orn-Pro-hle-Bta-2Ni-NH2
272	Ac-Phe-Orn-Pro-hle-Bta-5Ff-NH2
273	Ac-Phe-Orn-Pro-hle-Bta-Aic-NH2
274	Ac-Phe-Orn-Pro-hle-Bta-Cha-NH2
275	Ac-Phe-Orn-Pro-hle-Bta-Chg-NH2
276	Ac-Phe-Orn-Pro-hle-Bta-Eaa-NH2
277	Ac-Phe-Orn-Pro-hle-Bta-Egy-NH2
278	Ac-Phe-Orn-Pro-hle-Bta-Pcf-NH2
279	Ac-Phe-Orn-Pro-hle-Bta-Pff-NH2
280	Ac-Phe-Orn-Pro-hle-Bta-Phe-NH2
281	Ac-Phe-Orn-Pro-hle-Bta-phe-OH
282	Ac-Phe-Orn-Pro-hle-Bta-Tyr-NH2
283	Ac-Phe-Orn-Pro-hle-Dff-Phe-NH2
284	Ac-Phe-Orn-Pro-hle-Eaa-Phe-NH2
285	Ac-Phe-Orn-Pro-hle-Egc-Phe-NH2
286	Ac-Phe-Orn-Pro-hle-Egy-Phe-NH2
287	Ac-Phe-Orn-Pro-hle-Egz-Phe-NH2
288	Ac-Phe-Orn-Pro-hle-Mcf-2Ni-NH2
289	Ac-Phe-Orn-Pro-hle-Mcf-Cha-NH2
290	Ac-Phe-Orn-Pro-hle-Mcf-Pff-NH2
291	Ac-Phe-Orn-Pro-hle-Mcf-Phe-NH2
292	Ac-Phe-Orn-Pro-hle-Mff-Phe-NH2
293	Ac-Phe-Orn-Pro-hle-Mmy-Phe-NH2
294	Ac-Phe-Orn-Pro-hle-Ocf-Phe-NH2
295	Ac-Phe-Orn-Pro-hle-Off-Phe-NH2

296	Ac-Phe-Orn-Pro-hle-Otf-Phe-NH2
297	Ac-Phe-Orn-Pro-hle-Pff-2Ni-NH2
298	Ac-Phe-Orn-Pro-hle-Pff-Cha-NH2
299	Ac-Phe-Orn-Pro-hle-Pff-Eaa-NH2
300	Ac-Phe-Orn-Pro-hle-Pff-Mmy-NH2
301	Ac-Phe-Orn-Pro-hle-Pff-Pff-NH2
302	Ac-Phe-Orn-Pro-hle-Pff-Phe-NH2
304	Ac-Phe-Orn-Pro-hle-Phe-Phe-NH2 [SEQ ID NO: 46]
305	Ac-Phe-Orn-Pro-hle-Tff-Phe-NH2
306	Ac-Phe-Orn-Pro-hle-Trp-Phe-NH2 [SEQ ID NO: 47]
307	Ac-Phe-Orn-Pro-ile-Trp-Phe-NH2 [SEQ ID NO: 48]
308	Ac-Phe-Orn-Pro-omf-Bta-Phe-NH2
309	Ac-Phe-Orn-Ser-cha-Bta-Phe-NH2
310	Ac-Ser-Phe-Orn-Aze-cha-Bta-Phe-NH2
311	Ac-Thi-[Orn-Pro-hle-Bta-Phe]
312	Ac-Thi-Orn-Pro-cha-Bta-Phe-NH2
313	Ac-Thi-Orn-Pro-cha-Bta-Thi-NH2
314	Ac-Thr-Phe-Orn-Aze-cha-Bta-Phe-NH2
315	Bzl-[Orn-Pro-cha-Bta-Nle]
316	CH3CH2CO-Phe-Orn-Pro-cha-Bta-Phe-NH2
317	Def-[Orn-Ser-hle-Trp-Nle]
318	Eby-Phe-[Orn-Hyp-cha-Trp-Phe]
319	Eth-Phe-[Orn-Pro-hle-Pff-Nle]
320	FAc-Phe-Fib-Aze-cha-Bta-Phe-NH2
321	FAc-Phe-Orn-Aze-cha-Bta-Phe-NH2
322	FAc-Phe-Orn-Pro-cha-Bta-Phe-NH2
323	Fai-Phe-[Orn-Hyp-cha-Trp-Phe]
324	Faz-Orn-Pro-cha-Bta-Phe-NH2
325	Fbi-Phe-[Orn-Pro-cha-Trp-Nle]
326	Fbn-Phe-[Orn-Hyp-cha-Trp-Phe]

327	Fbn-Phe-[Orn-Pro-cha-Trp-Nle]
328	Fbn-Phe-[Orn-Pro-cha-Trp-Nle]
329	Fbn-Phe-Cit-Pro-hle-Bta-Phe-NH2
330	Fbo-Phe-[Orn-Pro-cha-Trp-Nle]
331	Fbp-[Orn-Pro-cha-Trp-Nle]
332	Fci-[Phe-Orn-Hyp-cha-Trp-Phe]
333	Fck-[Phe-Orn-Pro-cha-Trp-Nle]
334	Fck-Phe-[Orn-Pro-cha-Trp-Nle]
335	Fha-Phe-[Orn-Hyp-cha-Trp-Phe]
336	Fhb-[Phe-Orn-Hyp-cha-Trp-Phe]
337	Fhi-Phe-[Orn-Hyp-cha-Trp-Phe]
338	Fhu-Phe-[Orn-Pro-hle-Pff-Nle]
339	Fhu-Phe-Orn-Pro-cha-Bta-Phe-NH2
340	Fid-Phe-Orn-Pro-cha-Bta-Phe-NH2
341	H-Amf-[Orn-Aze-hle-Pff-Nle]
342	H-Bal-Phe-[Orn-Hyp-hle-Trp-Nle]
343	H-Bal-Phe-[Orn-Pro-hle-Pff-Nle]
344	H-Eby-[Orn-Hyp-hle-Trp-Nle]
345	H-Gly-Phe-Orn-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 49]
346	H-Nip-Phe-Cit-Pro-hle-Bta-Phe-NH2
347	Hoo-Phe-[Orn-Hyp-hle-Pff-Nle]
348	Hoo-Phe-Cit-Pro-hle-Pff-Phe-NH2
349	Hoo-Phe-Orn-Hyp-hle-Pff-Phe-NH2
350	Hoo-Phe-Orn-Pro-hle-Bta-Phe-NH2
351	Hoo-Phe-Orn-Pro-hle-Mcf-Phe-NH2
352	Hoo-Phe-Orn-Pro-hle-Pff-Phe-NH2
353	H-Phe-[Lys-Hyp-hle-Pff-Nle]
354	H-Phe-[Orn-Hym-hle-Mcf-Nle]
355	H-Phe-[Orn-Hym-hle-Pff-Phe]
356	H-Phe-[Orn-Hyp-cha-Trp-Nle]

357	H-Phe-[Orn-Hyp-cha-Trp-Phe]
358	H-Phe-[Orn-Hyp-ctb-Pff-Nle]
359	H-Phe-[Orn-Hyp-ctb-Trp-Nle]
360	H-Phe-[Orn-Hyp-ctb-Trp-Phe]
361	H-Phe-[Orn-Hyp-hle-Mcf-Leu]
362	H-Phe-[Orn-Hyp-hle-Pff-Chg]
363	H-Phe-[Orn-Hyp-hle-Pff-Hle]
364	H-Phe-[Orn-Hyp-hle-Pff-Leu]
365	H-Phe-[Orn-Hyp-hle-Pff-Nle]
366	H-Phe-[Orn-Hyp-hle-Pff-Phe]
367	H-Phe-[Orn-Hyp-hle-Trp-Hle]
368	H-Phe-[Orn-Hyp-hle-Trp-Leu]
369	H-Phe-[Orn-Hyp-hle-Trp-Nle]
370	H-Phe-[Orn-Hyp-hle-Trp-Nva]
371	H-Phe-[Orn-Hyp-hle-Trp-Phe]
372	H-Phe-[Orn-NMS-cha-Trp-Nle]
373	H-Phe-[Orn-NMS-hle-Pff-Phe]
374	H-Phe-[Orn-Pro-cha-Pff-Nle]
375	H-Phe-[Orn-Pro-cha-Pff-Phe]
376	H-Phe-[Orn-Pro-cha-Trp-Nle]
377	H-Phe-[Orn-Pro-hle-Mcf-Phe]
378	H-Phe-[Orn-Pro-hle-Ocf-Phe]
379	H-Phe-[Orn-Pro-hle-Pff-Nle]
380	H-Phe-[Orn-Pro-hle-Pff-Phe]
381	H-Phe-[Orn-Pro-hle-Trp-Nle]
382	H-Phe-[Orn-Ser-cha-Trp-Nle]
383	H-Phe-[Orn-Ser-cha-Trp-Phe] [SEQ ID NO: 50]
384	H-Phe-[Orn-Ser-hle-Eaa-Nle]
385	H-Phe-[Orn-Ser-hle-Mcf-Leu]
386	H-Phe-[Orn-Ser-hle-Ocf-Nle]

387	H-Phe-[Orn-Ser-hle-Pff-Leu]
388	H-Phe-[Orn-Ser-hle-Pff-Nle]
389	H-Phe-[Orn-Ser-hle-Pff-Phe]
390	H-Phe-[Orn-Ser-hle-Trp-Nle]
391	H-Phe-Cit-Pro-hle-Bta-Phe-NH2
392	Ohf-[Orn-Hyp-hle-Trp-Nle]
393	Tmg-Phe-[Orn-Hyp-cha-Trp-Phe]

Please replace the paragraph which spans page 48, paragraph 3 through page 52, paragraph 1 with the following amended paragraph:

Particularly preferred compounds and antagonists according to the present invention are the following cyclic compounds.

Nr.	Compound
1	Ac-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 7]
2	Ac-Phe-[Orn-Hyp-cha-Trp-Phe]
3	HOCH2(CHOH)4-C=N-O-CH2-CO-Phe-[Orn-Pro-cha-Trp-Nle]
4	X-Phe-[Orn-Pro-cha-Trp-Nle]; X = 2-Acetamido-1-Methyl-Glucuronyl
5	Ac-Phe-[Orn-Hyp(COCH2OCH2CH2OCH2CH2OCH3)-cha-Trp-Nle]
6	Ac-Phe-[Orn-Hyp(CONH-CH2CH(OH)-CH2OH)-cha-Trp-Nle]
20	Ac-Phe-[Orn-Pro-cha-Trp-Ecr]
28	Ac-Phe-[Orn-Pro-cha-Trp-Nle]
29	Ac-Phe-[Orn-Pro-cha-Trp-Met] [SEQ ID NO: 8]

31	Ac-Phe-[Orn-Pro-cha-Trp-Nva]
32	Ac-Phe-[Orn-Pro-cha-Trp-Hle]
33	Ac-Phe-[Orn-Pro-cha-Trp-Eaf]
34	Ac-Phe-[Orn-Pro-cha-Trp-Ebd]
35	Ac-Phe-[Orn-Pro-cha-Trp-Eag]
36	Ac-Phe-[Orn-Pro-cha-Trp-Pmf]
37	Ac-Phe-[Orn-Pro-cha-Trp-2Ni]
38	Ac-Phe-[Orn-Pro-cha-Trp-Thi]
41	Ph-CH2-CH2-CO-[Orn-Pro-cha-Trp-Nle]
42	H-Phe-[Orn-Pro-cha-Trp-Nle]
43	Ac-Lys-Phe-[Orn-Pro-cha-Trp-Nle] [SEQ ID NO: 9]
44	H-Phe-[Orn-Ser-cha-Trp-Nle]
56	Ph-CH2-[Orn-Pro-cha-Trp-Nle]
57	Ph-CH2-[Orn-Pro-cha-Trp-Phe]
58	Ac-Phe-[Orn-Pro-cha-Trp-1Ni]
59	Ph-CH(OH)-CH2-CO-[Orn-Pro-cha-Trp-Nle]
144	Ac-Phe-[Orn-Hyp-cha-Trp-Nle]
145	3PP-[Orn-Hyp-cha-Trp-Nle]
146	Ac-Phe-[Orn-Pro-cha-Trp-Tyr] [SEQ ID NO: 36]
147	Ac-Phe-[Orn-Pro-omf-Trp-Nle]
172	Ac-Phe-[Cys-Pro-cha-Bta-Phe-Cys]-NH2 [SEQ ID NO: 38]
173	Ac-Phe-[Orn-Asn-cha-Trp-Nle]
174	Ac-Phe-[Orn-Aze-cha-Trp-Nle]
175	Ac-Phe-[Orn-Chy-cha-Trp-Nle]
176	Ac-Phe-[Orn-HyA-cha-Trp-Phe]
177	Ac-Phe-[Orn-Hyp-hle-Bta-Phe]
178	Ac-Phe-[Orn-Hyp-hle-Mcf-Phe]
179	Ac-Phe-[Orn-Hyp-hle-Pff-Nle]
180	Ac-Phe-[Orn-Hyp-hle-Pff-Phe]

181	Ac-Phe-[Orn-Hyp-hle-Trp-Phe]
182	Ac-Phe-[Orn-Hyp-Mmf-Trp-Nle]
183	Ac-Phe-[Orn-Hyp-Mmf-Trp-Phe]
184	Ac-Phe-[Orn-NMD-cha-Trp-Nle]
185	Ac-Phe-[Orn-Pip-hle-Bta-Phe]
186	Ac-Phe-[Orn-Pro-cha-Pff-Nle]
187	Ac-Phe-[Orn-Pro-cha-Pff-Phe]
188	Ac-Phe-[Orn-Pro-cha-Trp-1Ni]
189	Ac-Phe-[Orn-Pro-cha-Trp-Cha]
190	Ac-Phe-[Orn-Pro-cha-Trp-Chg]
192	Ac-Phe-[Orn-Pro-cha-Trp-Ecr]
193	Ac-Phe-[Orn-Pro-cha-Trp-Leu] [SEQ ID NO: 39]
194	Ac-Phe-[Orn-Pro-cha-Trp-nle]
195	Ac-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 40]
196	Ac-Phe-[Orn-Pro-hle-Bta-Nle]
197	Ac-Phe-[Orn-Pro-hle-Bta-Phe]
198	Ac-Phe-[Orn-Pro-hle-Pff-Phe]
199	Ac-Phe-[Orn-Pro-hle-Trp-Nle]
200	Ac-Phe-[Orn-Ser-cha-Trp-Nle]
201	Ac-Phe-[Orn-Ser-cha-Trp-Nle]
202	Ac-Phe-[Orn-Ser-hle-Trp-Nle]
203	Ac-Phe-[Orn-Thr-cha-Trp-Nle]
204	Ac-Phe-[Orn-Tic-cha-Trp-Nle]
205	Ac-Phe-[Orn-Tic-cha-Trp-Nle]
311	Ac-Thi-[Orn-Pro-hle-Bta-Phe]
315	Bzl-[Orn-Pro-cha-Bta-Nle]
317	Def-[Orn-Ser-hle-Trp-Nle]
318	Eby-Phe-[Orn-Hyp-cha-Trp-Phe]
319	Eth-Phe-[Orn-Pro-hle-Pff-Nle]

323	Fai-Phe-[Orn-Hyp-cha-Trp-Phe]
325	Fbi-Phe-[Orn-Pro-cha-Trp-Nle]
326	Fbn-Phe-[Orn-Hyp-cha-Trp-Phe]
327	Fbn-Phe-[Orn-Pro-cha-Trp-Nle]
328	Fbn-Phe-[Orn-Pro-cha-Trp-Nle]
330	Fbo-Phe-[Orn-Pro-cha-Trp-Nle]
331	Fbp-[Orn-Pro-cha-Trp-Nle]
332	Fci-[Phe-Orn-Hyp-cha-Trp-Phe]
333	Fck-[Phe-Orn-Pro-cha-Trp-Nle]
334	Fck-Phe-[Orn-Pro-cha-Trp-Nle]
335	Fha-Phe-[Orn-Hyp-cha-Trp-Phe]
336	Fhb-[Phe-Orn-Hyp-cha-Trp-Phe]
337	Fhi-Phe-[Orn-Hyp-cha-Trp-Phe]
338	Fhu-Phe-[Orn-Pro-hle-Pff-Nle]
341	H-Amf-[Orn-Aze-hle-Pff-Nle]
342	H-Bal-Phe-[Orn-Hyp-hle-Trp-Nle]
343	H-Bal-Phe-[Orn-Pro-hle-Pff-Nle]
344	H-Eby-[Orn-Hyp-hle-Trp-Nle]
347	Hoo-Phe-[Orn-Hyp-hle-Pff-Nle]
353	H-Phe-[Lys-Hyp-hle-Pff-Nle]
354	H-Phe-[Orn-Hym-hle-Mcf-Nle]
355	H-Phe-[Orn-Hym-hle-Pff-Phe]
356	H-Phe-[Orn-Hyp-cha-Trp-Nle]
357	H-Phe-[Orn-Hyp-cha-Trp-Phe]
358	H-Phe-[Orn-Hyp-ctb-Pff-Nle]
359	H-Phe-[Orn-Hyp-ctb-Trp-Nle]
360	H-Phe-[Orn-Hyp-ctb-Trp-Phe]
361	H-Phe-[Orn-Hyp-hle-Mcf-Leu]
362	H-Phe-[Orn-Hyp-hle-Pff-Chg]

363	H-Phe-[Orn-Hyp-hle-Pff-Hle]
364	H-Phe-[Orn-Hyp-hle-Pff-Leu]
365	H-Phe-[Orn-Hyp-hle-Pff-Nle]
366	H-Phe-[Orn-Hyp-hle-Pff-Phe]
367	H-Phe-[Orn-Hyp-hle-Trp-Hle]
368	H-Phe-[Orn-Hyp-hle-Trp-Leu]
369	H-Phe-[Orn-Hyp-hle-Trp-Nle]
370	H-Phe-[Orn-Hyp-hle-Trp-Nva]
371	H-Phe-[Orn-Hyp-hle-Trp-Phe]
372	H-Phe-[Orn-NMS-cha-Trp-Nle]
373	H-Phe-[Orn-NMS-hle-Pff-Phe]
374	H-Phe-[Orn-Pro-cha-Pff-Nle]
375	H-Phe-[Orn-Pro-cha-Pff-Phe]
376	H-Phe-[Orn-Pro-cha-Trp-Nle]
377	H-Phe-[Orn-Pro-hle-Mcf-Phe]
378	H-Phe-[Orn-Pro-hle-Ocf-Phe]
379	H-Phe-[Orn-Pro-hle-Pff-Nle]
380	H-Phe-[Orn-Pro-hle-Pff-Phe]
381	H-Phe-[Orn-Pro-hle-Trp-Nle]
382	H-Phe-[Orn-Ser-cha-Trp-Nle]
383	H-Phe-[Orn-Ser-cha-Trp-Phe] [SEQ ID NO: 50]
384	H-Phe-[Orn-Ser-hle-Eaa-Nle]
385	H-Phe-[Orn-Ser-hle-Mcf-Leu]
386	H-Phe-[Orn-Ser-hle-Ocf-Nle]
387	H-Phe-[Orn-Ser-hle-Pff-Leu]
388	H-Phe-[Orn-Ser-hle-Pff-Nle]
389	H-Phe-[Orn-Ser-hle-Pff-Phe]
390	H-Phe-[Orn-Ser-hle-Trp-Nle]
392	Ohf-[Orn-Hyp-hle-Trp-Nle]

393	Tmg-Phe-[Orn-Hyp-cha-Trp-Phe]

Please replace the paragraph which spans page 52, paragraph 2 through page 60, paragraph 1 with the following amended paragraph:

In connection with the present invention, however, it was also surprisingly found that linear, thus structurally flexible, peptides can be as potent inhibitors as structurally fixed cyclic peptides. The reason for this may be the substitution of the C-terminal charged arginine by hydrophobic amino acids, amino acid derivatives or amino acid analogs. Examples for such linear peptidic inhibitors according to the invention are in particular the compounds shown in the following table:

51	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 10]
52	Ac-Phe-Orn-Aze-cha-Bta-Phe-NH2
53	Ac-Phe-Orn-Pro-cha-Bta-2Ni-NH2
54	Ac-Phe-Orn-Pro-cha-Bta-Cha-NH2
55	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH2
61	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 11]
62	Ac-Phe-Orn-Pro-cha-Bta-Phe-NH2
64	Ac-Phe-Orn-Pro-cha-Trp-2Ni-NH2
65	Ac-Phe-Orn-Pro-cha-Trp-Cha-NH2
66	Ac-Thi-Orn-Aze-cha-Bta-Phe-NH2
67	Ac-Thi-Orn-Pip-cha-Bta-Phe-NH2
68	Ac-Phe-Orn-Pro-cha-Trp-Eap-NH2
69	Me2-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 12]
70	Ph2-CH-CH2-CO-Orn-Pro-cha-Trp-Phe-NH2
71	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH2
72	Ac-Phe-Orn-Pro-cha-Trp-NH-CH2-CH2-Ph

73	Ac-Phe-Orn-Aze-cha-Bta-NH-CH2-CH2-Ph
74	H-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 13]
75	H-Me-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 14]
76	Bu-NH-CO-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 15]
77	Ac-Thi-Orn-Pro-cha-Trp-Phe-NH2
78	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH2
79	Ac-Phe-Orn-Ala-cha-Trp-Phe-NH2 [SEQ ID NO: 16]
80	Ac-Phe-Orn-Pro-cha-Trp-Thi-NH2
81	Ac-Phe-Orn-Aze-cha-Pcf-Phe-NH2
82	Ac-Phe-Orn(Ac)-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 17]
83	Ac-Phe-Orn-Aze-cha-Trp-Phe-NH2
84	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 18]
85	Ph-NH-CO-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 19]
86	Bu-O-CO-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 20]
87	Ac-Phe-Lys-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 21]
88	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 22]
89	Ac-Phe-Gln-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 23]
90	Ac-Phe-Ser-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 51]
91	Ac-Phe-Glu-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 52]
92	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH2
93	Ac-Phe-Orn-Hyp-cha-Trp-Phe-NH2
94	Ac-Phe-Orn-Pro-cha-Trp-1Ni-NH2
95	Ac-Phe-Orn-Aze-cha-Bta-Phe-NH-Me
96	CH3-SO2-Phe-Orn-Aze-cha-Bta-Phe-NH2
99	Ac-Phe-Orn-Aze-cha-Pff-Phe-NH2
100	Ac-Phe-Orn-Aze-cha-Mcf-Phe-NH2
101	Ac-Phe-Orn(Ac)-Aze-cha-Bta-Phe-NH2

102	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH2
103	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 24]
104	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 25]
105	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH2
106	3PP-Orn-Aze-cha-Bta-Phe-NH2
107	Ac-Phe-Orn-Tic-cha-Trp-Phe-NH2
108	Ac-Phe-Orn-Ser-cha-Trp-Phe-NH2 [SEQ ID NO: 26]
109	Ac-Phe-Orn-Pro-chg-Trp-Phe-NH2 [SEQ ID NO: 27]
110	Ac-Phe-Orn-Pro-hch-Trp-Phe-NH2 [SEQ ID NO: 28]
111	Ac-Phe-Orn-Pro-cha-Trp-Phg-NH2
112	Ac-Phe-Bta-Aze-cha-Bta-Phe-NH2
113	Ac-Phe-Trp-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 29]
115	Ac-Phe-Orn-Pip-cha-Trp-Phe-OH
116	Ac-Phe-Orn-Tic-cha-Trp-Phe-OH
117	Ac-Phe-Orn-Ser-cha-Trp-Phe-OH [SEQ ID NO: 30]
118	Ac-Phe-Orn-Pro-chg-Trp-Phe-OH [SEQ ID NO: 31]
119	Ac-Phe-Ecc-Pro-cha-Bta-Phe-NH2
120	Ac-Phe-Nle-Pro-cha-Bta-Phe-NH2
121	Ac-Phe-Har-Pro-cha-Bta-Phe-NH2
122	Ac-Phe-Arg-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 32]
123	Ac-Phe-Cys(Acm)-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 33]
124	Ac-Phe-Mpa-Pro-cha-Bta-Phe-NH2
125	Ac-Eby-Orn-Pro-cha-Bta-Phe-NH2
126	Ac-Phg-Orn-Pro-cha-Bta-Phe-NH2
127	Ac-Phe-Paf-Pro-cha-Bta-Phe-NH2
128	H2N-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2
129	Me-O-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2
130	(-CO-CH2-NH-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH2
132	Ac-Phe-Orn-Pro-hch-Trp-Phe-OH [SEQ ID NO: 34]

133	(-CO-CH2-CH2-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH2
134	tBu-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2
135	Ac-Lys-Phe-Orn-Aze-cha-Bta-Phe-NH2
136	Ac-Gly-Phe-Orn-Aze-cha-Bta-Phe-NH2
137	Ac-Arg-Phe-Orn-Aze-cha-Bta-Phe-NH2
138	Ac-His-Phe-Orn-Aze-cha-Bta-Phe-NH2
139	Ac-Ser-Phe-Orn-Aze-cha-Bta-Phe-NH2
140	Ac-Guf-Phe-Orn-Aze-cha-Bta-Phe-NH2
141	Ac-Dab-Phe-Orn-Aze-cha-Bta-Phe-NH2
142	FH2C-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2
143	Ac-Phe-Orn(Et2)-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 35]
148	Ac-Phe-N(nBu)-CH2-CO-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 53]
149	Ac-Phe-Orn-Pro-hle-Bta-Phe-NH2
150	Ac-Phe-Arg(CH2-CH2)-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 37]
151	Ac-Ala-Phe-Orn-Aze-cha-Bta-Phe-NH2
152	Ac-Arg-Phe-Orn-Aze-cha-Bta-Phe-NH2
153	Ac-Cit-Phe-Orn-Aze-cha-Bta-Phe-NH2
154	Ac-Gly-Phe-Orn-Aze-cha-Bta-Phe-NH2
155	Ac-Gly-Phe-Orn-Aze-chg-Bta-Phe-NH2
156	Ac-Gly-Phe-Orn-Aze-hch-Bta-Phe-NH2
157	Ac-Gly-Thi-Orn-Aze-cha-Bta-Phe-NH2
158	Ac-His-Phe-Orn-Aze-cha-Bta-Phe-NH2
159	Ac-Hyp-Phe-Orn-Aze-cha-Bta-Phe-NH2
160	Ac-Lys-Phe-Orn-Aze-cha-Bta-Phe-NH2
161	Ac-Mff-Orn-Pro-cha-Bta-Phe-NH2
162	Ac-Mff-Orn-Pro-hle-Bta-Phe-NH2
163	Ac-Mff-Orn-Pro-hle-Mcf-Mff-NH2

164	Ac-Mmy-Orn-Pro-hle-Pff-Phe-NH2
165	Ac-NMF-Orn-Pro-cha-Bta-Phe-NH2
166	Ac-Off-Orn-Pro-cha-Bta-Phe-NH2
167	Ac-Off-Orn-Pro-hle-Bta-Phe-NH2
168	Ac-Orn-Phe-Orn-Aze-cha-Bta-Phe-NH2
169	Ac-Pff-Orn-Pro-cha-Bta-Phe-NH2
170	Ac-Pff-Orn-Pro-hle-Bta-Phe-NH2
171	Ac-Pff-Orn-Pro-hle-Mcf-Pff-NH2
206	Ac-Phe-Ala-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 41]
207	Ac-Phe-Arg-Pro-hle-Bta-Phe-NH2 [SEQ ID NO: 42]
208	Ac-Phe-Arg-Pro-hle-Mcf-Phe-NH2 [SEQ ID NO: 43]
209	Ac-Phe-Cit-Hyp-hle-Bta-Phe-NH2
210	Ac-Phe-Cit-Pro-cha-Bta-Phe-NH2
211	Ac-Phe-Cit-Pro-hle-Bta-Phe-NH2
212	Ac-Phe-Cit-Ser-hle-Bta-Phe-NH2
213	Ac-Phe-Dab-Aze-cha-Bta-Phe-NH2
214	Ac-Phe-Dab-Aze-hle-Bta-Phe-NH2
215	Ac-Phe-Dab-Pro-cha-Bta-Phe-NH2
216	Ac-Phe-Dap-Pro-cha-Bta-Phe-NH2
217	Ac-Phe-Ech-Pro-cha-Bta-Phe-NH2
218	Ac-Phe-Eep-Pro-cha-Bta-Phe-NH2
219	Ac-Phe-Fcn-Aze-cha-Bta-Phe-NH2
220	Ac-Phe-Fcn-Pro-cha-Bta-Phe-NH2
221	Ac-Phe-Fco-Pro-cha-Bta-Phe-NH2
222	Ac-Phe-Fco-Pro-cha-Bta-Phe-NH2
223	Ac-Phe-Fcp-Aze-cha-Bta-Phe-NH2
224	Ac-Phe-Ffa-Aze-cha-Bta-Phe-NH2
225	Ac-Phe-Ffa-Pro-cha-Bta-Phe-NH2
226	Ac-Phe-Ffa-Pro-hle-Bta-Phe-NH2

227	Ac-Phe-G23-Pro-cha-Bta-Phe-NH2
228	Ac-Phe-Guf-Pro-cha-Bta-Phe-NH2
229	Ac-Phe-Har-Aze-cha-Bta-Phe-NH2
230	Ac-Phe-His-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 44]
231	Ac-Phe-L22-Pro-cha-Bta-Phe-NH2
232	Ac-Phe-OrA-Pro-cha-Bta-Phe-NH2
233	Ac-Phe-OrE-Pro-cha-Bta-Phe-NH2
234	Ac-Phe-Orn-Aze-hle-Bta-Phe-NH2
235	Ac-Phe-Orn-Chy-cha-Bta-Phe-NH2
236	Ac-Phe-Orn-Chy-hle-Pff-Phe-NH2
237	Ac-Phe-Orn-G24-cha-Bta-Phe-NH2
238	Ac-Phe-Orn-G25-cha-Bta-Phe-NH2
239	Ac-Phe-Orn-G26-cha-Bta-Phe-NH2
240	Ac-Phe-Orn-G27-cha-Bta-Phe-NH2
241	Ac-Phe-Orn-G30-cha-Bta-Phe-NH2
242	Ac-Phe-Orn-G31-cha-Bta-Phe-NH2
243	Ac-Phe-Orn-Hse-cha-Bta-Phe-NH2
244	Ac-Phe-Orn-Hyp-hle-Bta-Phe-NH2
245	Ac-Phe-Orn-Hyp-hle-Pff-Phe-NH2
246	Ac-Phe-Orn-NMA-cha-Bta-Phe-NH2
247	Ac-Phe-Orn-NMS-cha-Bta-Phe-NH2
248	Ac-Phe-Orn-Pro-cha-1Ni-Phe-NH2
249	Ac-Phe-Orn-Pro-cha-Bta-1Ni-NH2
250	Ac-Phe-Orn-Pro-cha-Bta-Bhf-NH2
251	Ac-Phe-Orn-Pro-cha-Bta-Dff-NH2
252	Ac-Phe-Orn-Pro-cha-Bta-Eaa-NH2
253	Ac-Phe-Orn-Pro-cha-Bta-L19
254	Ac-Phe-Orn-Pro-cha-Bta-Mcf-NH2
255	Ac-Phe-Orn-Pro-cha-Bta-Mff-NH2

256	Ac-Phe-Orn-Pro-cha-Bta-NH-CH(CH2OH)-CH2-Ph
257	Ac-Phe-Orn-Pro-Cha-Bta-NH-NBn-CO-NH2
258	Ac-Phe-Orn-Pro-cha-Bta-Opa-NH2
259	Ac-Phe-Orn-Pro-cha-Bta-Pcf-NH2
260	Ac-Phe-Orn-Pro-cha-Bta-Pmf-NH2
261	Ac-Phe-Orn-Pro-cha-Bta-Thi-NH2
262	Ac-Phe-Orn-Pro-cha-Otf-Phe-NH2
263	Ac-Phe-Orn-Pro-ctb-Bta-Phe-NH2
264	Ac-Phe-Orn-Pro-ctb-Eaa-Phe-NH2
265	Ac-Phe-Orn-Pro-ctb-Mcf-Phe-NH2
266	Ac-Phe-Orn-Pro-ctb-Pff-Phe-NH2
267	Ac-Phe-Orn-Pro-hch-Trp-Phe-OH [SEQ ID NO: 45]
268	Ac-Phe-Orn-Pro-hle-1Ni-Phe-NH2
269	Ac-Phe-Orn-Pro-hle-6FW-Phe-NH2
270	Ac-Phe-Orn-Pro-hle-Bta-1Ni-NH2
271	Ac-Phe-Orn-Pro-hle-Bta-2Ni-NH2
272	Ac-Phe-Orn-Pro-hle-Bta-5Ff-NH2
273	Ac-Phe-Orn-Pro-hle-Bta-Aic-NH2
274	Ac-Phe-Orn-Pro-hle-Bta-Cha-NH2
275	Ac-Phe-Orn-Pro-hle-Bta-Chg-NH2
276	Ac-Phe-Orn-Pro-hle-Bta-Eaa-NH2
277	Ac-Phe-Orn-Pro-hle-Bta-Egy-NH2
278	Ac-Phe-Orn-Pro-hle-Bta-Pcf-NH2
279	Ac-Phe-Orn-Pro-hle-Bta-Pff-NH2
280	Ac-Phe-Orn-Pro-hle-Bta-Phe-NH2
281	Ac-Phe-Orn-Pro-hle-Bta-phe-OH
282	Ac-Phe-Orn-Pro-hle-Bta-Tyr-NH2
283	Ac-Phe-Orn-Pro-hle-Dff-Phe-NH2
284	Ac-Phe-Orn-Pro-hle-Eaa-Phe-NH2

285	Ac-Phe-Orn-Pro-hle-Egc-Phe-NH2
286	Ac-Phe-Orn-Pro-hle-Egy-Phe-NH2
287	Ac-Phe-Orn-Pro-hle-Egz-Phe-NH2
288	Ac-Phe-Orn-Pro-hle-Mcf-2Ni-NH2
289	Ac-Phe-Orn-Pro-hle-Mcf-Cha-NH2
290	Ac-Phe-Orn-Pro-hle-Mcf-Pff-NH2
291	Ac-Phe-Orn-Pro-hle-Mcf-Phe-NH2
292	Ac-Phe-Orn-Pro-hle-Mff-Phe-NH2
293	Ac-Phe-Orn-Pro-hle-Mmy-Phe-NH2
294	Ac-Phe-Orn-Pro-hle-Ocf-Phe-NH2
295	Ac-Phe-Orn-Pro-hle-Off-Phe-NH2
296	Ac-Phe-Orn-Pro-hle-Otf-Phe-NH2
297	Ac-Phe-Orn-Pro-hle-Pff-2Ni-NH2
298	Ac-Phe-Orn-Pro-hle-Pff-Cha-NH2
299	Ac-Phe-Orn-Pro-hle-Pff-Eaa-NH2
300	Ac-Phe-Orn-Pro-hle-Pff-Mmy-NH2
301	Ac-Phe-Orn-Pro-hle-Pff-Pff-NH2
302	Ac-Phe-Orn-Pro-hle-Pff-Phe-NH2
304	Ac-Phe-Orn-Pro-hle-Phe-Phe-NH2 [SEQ ID NO: 46]
305	Ac-Phe-Orn-Pro-hle-Tff-Phe-NH2
306	Ac-Phe-Orn-Pro-hle-Trp-Phe-NH2 [SEQ ID NO: 47]
307	Ac-Phe-Orn-Pro-ile-Trp-Phe-NH2 [SEQ ID NO: 48]
308	Ac-Phe-Orn-Pro-omf-Bta-Phe-NH2
309	Ac-Phe-Orn-Ser-cha-Bta-Phe-NH2
310	Ac-Ser-Phe-Orn-Aze-cha-Bta-Phe-NH2
312	Ac-Thi-Orn-Pro-cha-Bta-Phe-NH2
313	Ac-Thi-Orn-Pro-cha-Bta-Thi-NH2
314	Ac-Thr-Phe-Orn-Aze-cha-Bta-Phe-NH2
316	CH3CH2CO-Phe-Orn-Pro-cha-Bta-Phe-NH2

320	FAc-Phe-Fib-Aze-cha-Bta-Phe-NH2
321	FAc-Phe-Orn-Aze-cha-Bta-Phe-NH2
322	FAc-Phe-Orn-Pro-cha-Bta-Phe-NH2
324	Faz-Orn-Pro-cha-Bta-Phe-NH2
329	Fbn-Phe-Cit-Pro-hle-Bta-Phe-NH2
339	Fhu-Phe-Orn-Pro-cha-Bta-Phe-NH2
340	Fid-Phe-Orn-Pro-cha-Bta-Phe-NH2
345	H-Gly-Phe-Orn-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 49]
346	H-Nip-Phe-Cit-Pro-hle-Bta-Phe-NH2
348	Hoo-Phe-Cit-Pro-hle-Pff-Phe-NH2
349	Hoo-Phe-Orn-Hyp-hle-Pff-Phe-NH2
350	Hoo-Phe-Orn-Pro-hle-Bta-Phe-NH2
351	Hoo-Phe-Orn-Pro-hle-Mcf-Phe-NH2
352	Hoo-Phe-Orn-Pro-hle-Pff-Phe-NH2
391	H-Phe-Cit-Pro-hle-Bta-Phe-NH2

Please replace paragraph 2 on page 60 with the following amended paragraph:

The linear peptides known from the prior art such as Finch et al. 1999 Journal of Medicinical Chemistry 42: 1965-1974; Wong et al. 1999 IDrugs 2: 686-693, US 4,692,511, US 5,663,148, WO 90/09162, WO 92/11858, WO 92/12168, WO 92/21361, WO 94/07518, WO 94/07815, WO 95/25957, WO 96/06629, WO 99/00406, and WO 99/13899 are in general significantly worse antagonists of C5a compared to cyclic peptides which are described in WO 99/00406 (e.g. Ac-Phe-[Lys-Pro-cha-Trp-arg] [SEQ ID NO: 54], Ac-Phe-[Orn-Pro-cha-Trp-arg] [SEQ ID NO: 55], Ac-Phe-[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 56], Ac-Phe-[Lys-Pro-cha-Trp-Arg] [SEQ ID NO: 57]). The in terms of antagonistic activity most active linear peptide described in WO 99/00406 has the sequence Me-Phe-Lys-Pro-cha-Trp-arg [SEQ ID NO: 58] and an IC_{50} of 0.085 μM (measured with the cellular myeloperoxidase release assay with human PMNs). In contrast thereto, the comparable cyclic peptide Ac-Phe-[Lys-Pro-cha-Trp-arg] [SEQ ID NO: 59] (also

from WO 99/00406) has an IC_{50} of 0.012 µM. In WO 99/00406 it is mentioned that the decreased structural flexibility of the cyclic peptide leads to the decrease, i.e. an improvement of the IC_{50}. This is reflected in the development of cyclic – meaning least flexible – inhibitors like Ac-Phe-[Lys-Pro-cha-Trp-arg] [SEQ ID NO: 60] and Ac-Phe-[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 61].

Please replace paragraph 2 on page 61 with the following amended paragraph:

Another feature of the compounds according to this invention, especially of the peptides and peptidomimetics, is the absence of agonistic activity in a cellular assay up to a concentration of at least 1430 nM. Example 12 shows by way of example results from measurements with selected peptides according to the present invention using a method for determinating C5aR agonistic activities. Obviously, the compounds according to the present invention do not show any agonistics activity up to the highest concentration used. Within the present invention the following compounds in accordance with the present invention are examples for peptides in accordance with the present invention which are pure antagonists: $HOCH_2(CHOH)_4$-C=N-O-CH_2-CO-Phe-[Orn-Pro-cha-Trp-Nle], Ph-CH_2-CH_2-CO-[Orn-Pro-cha-Trp-Nle], Ac-Phe-[Orn-Hyp-cha-Trp-Phe], H-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 13], Ac-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 7], Ac-Lys-Phe-[Orn-Pro-cha-Trp-Nle] [SEQ ID NO: 9], H-Phe-[Orn-Pro-cha-Trp-Nle], H-Phe-[Orn-Ser-cha-Trp-Nle], Ac-Phe-[Orn-Pro-cha-Trp-Eaf], Ac-Phe-Orn-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 10] , Ac-Phe-Orn-Pro-cha-Bta-Phe-NH_2, Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH_2, Ac-Phe-Orn-cha-cha-Bta-Phe-NH_2, Ac-Phe-Arg-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 22], Ac-Phe-Orn-Pip-cha-Trp-Phe-NH_2, Ac-Phe-Orn-Aze-cha-Trp-Phe-NH_2, Ac-Phe-Trp-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 18], Ac-Thi-Orn-Pip-cha-Bta-Phe-NH_2, Ac-Phe-Orn-Pro-hle-Bta-Phe-NH_2, Ac-Phe-Arg-(CH_2-CH_2)-Pro-cha-Bta-Phe-NH_2 [SEQ ID NO: 37].

Please replace paragraph 3 on page 61 with the following amended paragraph:

For a detailed analysis of the C5aR antagonism and the development of a pharmacophore model of the compound Ac-Phe-[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 61] the amino acids Phe, Trp and Arg were replaced by L-alanine, Pro was replaced by NMe-alanine and cha was replaced by D-alanine (single substitutions). The resulting peptides were analysed with a functional assay with

regard to their C5aR antagonistic activity (example 11). From this approach it is apparent that the substitution of the amino acid side chains of Trp, cha, and Phe by methyl groups results in a pronounced loss of activity (IC_{50} values > 30 μM). In contrast to that the activity of the antagonist Ac-Phe-[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 61] is comparable to the activity of the molecule having Pro replaced by NMeAla (IC_{50} = 20 nM compared to 25 nM). The substitution of Ala for Arg also leads to a significant loss in activity (IC_{50} = 20 nM to IC_{50} = 5.6 μM) which is nevertheless less pronounced than for the substitution of Trp and Phe.

Please replace paragraph 1 on page 62 with the following amended paragraph:

Additional substitutions at the peptide Ac-Phe-[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 61] and similar compounds lead to a number of peptides and peptidomimetics, respectively, which, surprisingly, have noteworthy activities (example 11). Especially the following peptides show noteworthy inhibitory activity: Ac-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 7], Ac-Phe-[Orn-Hyp-cha-Trp-Phe], Ac-Phe-[Orn-Pro-cha-Trp-Paf], Ac-Phe-[Orn-Pro-cha-Trp-Ecr], Ac-Phe-[Orn-Pro-cha-Trp-Ppa], Ac-Phe-[Orn-Pro-cha-Trp-Nle], Ac-Phe-[Orn-Pro-cha-Trp-Met] [SEQ ID NO: 8], Ac-Phe-[Orn-Pro-cha-Trp-Nva], Ac-Phe-[Orn-Pro-cha-Trp-Hle], Ac-Phe-[Orn-Pro-cha-Trp-Eaf], Ac-Phe-[Orn-Pro-cha-Trp-Ebd], Ac-Phe-[Orn-Pro-cha-Trp-Eag], Ac-Phe-[Orn-Pro-cha-Trp-Pmf], Ac-Phe-[Orn-Pro-cha-Trp-2Ni], Ac-Phe-[Orn-Pro-cha-Trp-Thi], H-Phe-[Orn-Pro-cha-Trp-Nle], Ac-Phe-[Orn-Pro-cha-Trp-Nle], Ac-Lys-Phe-[Orn-Pro-cha-Trp-Nle] [SEQ ID NO: 9], Ac-Phe-[Orn-Ser-cha-Trp-Phe] [SEQ ID NO: 26], $HOCH_2(CHOH)_4$-C=N-O-CH_2-CO-Phe-[Orn-Pro-cha-Trp-Nle], Ac-Phe-[Orn-Hyp($COCH_2OCH_2CH_2OCH_2CH_2OCH_3$)-cha-Trp-Phe], Ac-Phe-[Orn-Hyp($CONHCH_2COH(OH)CH_2OH$)-cha-Trp-Phe], Phenylpropionyl-[Orn-Pro-cha-Trp-Nle] , Ac-Phe-Orn-Pro-hle-Bta-Phe-NH_2, Ac-Phe-Arg(CH_2-CH_2)-Pro-cha-Bta-Phe-NH_2 [SEQ ID NO: 37].

Please replace paragraph 2 on page 62 with the following amended paragraph:

The oral absorption of peptides is influenced by a variety of factors like size, charge, and hydrophobicity. Nevertheless, the oral availability of a peptide cannot be predicted a priori. In general, peptides are regarded to have poor oral availablity (Burton et al. 1996 Journal of Pharmaceutical Sciences 85: 1337-1340). A model for the estimation of the oral absorption is the

measurement of the AB permeability through a monolayer of gut epithelial cells (e.g. CaCo2 or TC-7) (example15, Lennernäs 1997 Journal of Pharmacy and Pharmacology 49: 627-38). The compounds according to the invention which can be used as C5aR antagonists, show a significantly increased AB permeability due to the hydrophobic substitution of the C-terminal arginine. For example, the antagonist Ac-Phe-[Orn-Hyp-cha-Trp-Phe] has a surprisingly high permeability of $14.3x10^{-6}$ cm/s compared to the bad permeability of $0.52x10^{-6}$ of the charged antagonist Ac-Phe-[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 61]. The high permeability is in terms of figures within a range close to the one of orally well available compounds. An example for an orally well available compound is Propanolol, which shows an AB permeability of $31.1x10^{-6}$ cm/s in this test by Lennernäs.

Please replace paragraph 4 on page 82 with the following amended paragraph:

Example 2: Synthesis of Ac-Phe-[Orn-Pro-cha-Trp-Phe] (1) [SEQ ID NO: 7]

After linear peptide synthesis in accordance with AAV 1, cyclization in accordance with AAV 2, and subsequent purification via HPLC, 50.9 mg of the desired product Ac-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 7] were obtained as white solid.

MS (ESI): m/z = 888.3 $[(M+H)^+]$.

Please replace paragraph 2 on page 85 with the following amended paragraph:

Example 9: Synthesis of Ac-Phe-[Orn-Pro-cha-Trp-Arg(CH_2CH_2)] (7) [SEQ ID NO: 62]

The linear peptide Ac-Phe-Orn-Pro-cha-Trp-Orn-OH was synthesized in accordance with AAV 1, cyclized in accordance with AAV 2, and the resulting cyclic peptide Ac-Phe-[Orn-Pro-cha-Trp-Orn] was purified via HPLC. Subsequently, 2.6 mg of the peptide were reacted with 22.6 mg (30 eq.) 2-(methylmercapto)-2-imidazoline-hydroiodide and 29.7 µl (60 eq.) DIPEA in 260 µl MeOH. After stirring for 2 days at 50°C, the solvent was removed by a rotary evaporator and the

resulting raw product was purified via HPLC. 0.86 mg of the desired white solid **7** were obtained.

MS (ESI): m/z = 922.8 [$(M+H)^+$].

Please replace Table 4 which spans pages 87 through 99 with the following amended table:

Table 4: Data for antagonistic activity of selected compounds acording to the present invention.

No.	Compound	(M+H)+ in MS [amu]	activity (group)
1	Ac-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 7]	888.3	D
2	Ac-Phe-[Orn-Hyp-cha-Trp-Phe]	903.5	D
3	HOCH2(CHOH)4-C=N-O-CH2-CO-Phe-[Orn-Pro-cha-Trp-Nle]	1046.5	E
4	X-Phe-[Orn-Pro-cha -Trp-Nle]; X = 2-Acetamido-1-Methyl-Glucuronyl	1043.0	D
5	Ac-Phe-[Orn-Hyp(COCH2OCH2CH2OCH2CH2OCH3)-cha-Trp-Nle]	1029.6	E
6	Ac-Phe-[Orn-Hyp(CONH-CH2CH(OH)-CH2OH)-cha-Trp-Nle]	986.5	E
7	Ac-Phe-[Orn-Pro-cha-Trp-Arg(CH2CH2)] [SEQ ID NO: 63]	922.8	F
8	Ac-Phe-[Orn-Pro-cha-Trp-Har]	910.7	F
9	Ac-Phe-[Orn-Pro-cha-Trp-Guf]	944.6	F
10	Ac-Phe-[Orn-Pro-cha-Trp-Cit]	897.5	F
11	Ac-Phe-[Orn-Pro-cha-Trp-Eew]	941.5	F
12	Ac-Phe-[Orn-Pro-cha-Trp-arg]	896.7	F
13	Ac-Phe-[Orn-Pro-cha-Trp-Hci]	911.6	F
14	Ac-Phe-[Orn-Pro-cha-Trp-Paf]	902.7	D

15	Ac-Phe-[Orn-Pro-cha-Trp-Ebo]	934.6	F
16	Ac-Phe-[Orn-Pro-cha-Trp-Ecf]	950.6	F
17	Ac-Phe-[Orn-Pro-cha-Trp-Ebu]	934.7	F
18	Ac-Phe-[Orn-Pro-cha-Trp-Ecg]	934.6	F
19	Ac-Phe-[Orn-Pro-cha-Trp-Edn]	948.6	F
20	Ac-Phe-[Orn-Pro-cha-Trp-Ecr]	891.7	E
21	Ac-Phe-[Orn-Pro-cha-Trp-Phe(4-Amidin)] [SEQ ID NO: 64]	929.7	F
22	Ac-Phe-[Orn-Pro-cha-Trp-Lys] [SEQ ID NO: 65]	868.6	G
23	Ac-Phe-[Orn-Pro-cha-Trp-Ppa]	888.6	E
24	Ac-Phe-[Orn-Pro-cha-Trp-Arg(Me2)] [SEQ ID NO: 66]	924.7	E
25	Ac-Phe-[Orn-Pro-cha-Trp-Dab]	840.4	E
26	Ac-Phe-[Orn-Pro-cha-Trp-Ecp]	997.7	F
27	Ac-Phe-[Orn-Pro-cha-Trp-XX1]	894.6	G
28	Ac-Phe-[Orn-Pro-cha-Trp-Nle]	852.6	D
29	Ac-Phe-[Orn-Pro-cha-Trp-Met] [SEQ ID NO: 8]	871.6	E
30	Ac-Phe-[Orn-Pro-cha-Trp-XX2]	936.5	G
31	Ac-Phe-[Orn-Pro-cha-Trp-Nva]	839.5	C
32	Ac-Phe-[Orn-Pro-cha-Trp-Hle]	867.5	D
33	Ac-Phe-[Orn-Pro-cha-Trp-Eaf]	837.5	B
34	Ac-Phe-[Orn-Pro-cha-Trp-Ebd]	871.5	D
35	Ac-Phe-[Orn-Pro-cha-Trp-Eag]	835.5	B
36	Ac-Phe-[Orn-Pro-cha-Trp-Pmf]	901.6	D
37	Ac-Phe-[Orn-Pro-cha-Trp-2Ni]	937.5	E
38	Ac-Phe-[Orn-Pro-cha-Trp-Thi]	893.5	D
39	Ac-Phe-[Orn-Pro-cha-Trp-Ala] [SEQ ID NO: 67]	811.7	G
40	Ac-Phe-[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 68]	896.6	C
41	Ph-CH2-CH2-CO-[Orn-Pro-cha-Trp-Nle]	796.5	C
42	H-Phe-[Orn-Pro-cha-Trp-Nle]	811.5	C
43	Ac-Lys-Phe-[Orn-Pro-cha-Trp-Nle] [SEQ ID NO: 9]	1015.7	D

44	H-Phe-[Orn-Ser-cha-Trp-Nle]	843.5	D
45	Ac-Ala-[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 69]	820.6	G
46	Ac-Phe-[Orn-NMeAla-cha-Trp-Arg]	884.8	D
47	Ac-Phe-[Orn-Pro-ala-Trp-Arg] [SEQ ID NO: 70]	814.8	G
48	Ac-Phe-[Orn-Pro-cha-Ala-Arg] [SEQ ID NO: 71]	781.8	G
49	Ac-Phe-[Orn-Pro-cha-Trp-Ala] [SEQ ID NO: 72]	811.7	G
56	Ph-CH2-[Orn-Pro-cha-Trp-Nle]	753.4	D
57	Ph-CH2-[Orn-Pro-cha-Trp-Phe]	787.5	D
58	Ac-Phe-[Orn-Pro-cha-Trp-1Ni]	937.7	D
59	Ph-CH(OH)-CH2-CO-[Orn-Pro-cha-Trp-Nle]	812.4	D
144	Ac-Phe-[Orn-Hyp-cha-Trp-Nle]	868.6	C
145	3PP-[Orn-Hyp-cha-Trp-Nle]	811.6	D
146	Ac-Phe-[Orn-Pro-cha-Trp-Tyr] [SEQ ID NO: 36]	902.7	D
147	Ac-Phe-[Orn-Pro-omf-Trp-Nle]	860.6	C
172	Ac-Phe-[Cys-Pro-cha-Bta-Phe-Cys]-NH2 [SEQ ID NO: 38]	1011.6	E
173	Ac-Phe-[Orn-Asn-cha-Trp-Nle]	871	E
174	Ac-Phe-[Orn-Aze-cha-Trp-Nle]	839.5	E
175	Ac-Phe-[Orn-Chy-cha-Trp-Nle]	869.5	E
176	Ac-Phe-[Orn-HyA-cha-Trp-Phe]	945.6	E
177	Ac-Phe-[Orn-Hyp-hle-Bta-Phe]	894.7	E
178	Ac-Phe-[Orn-Hyp-hle-Mcf-Phe]	874.2	E
179	Ac-Phe-[Orn-Hyp-hle-Pff-Nle]	823.1	E
180	Ac-Phe-[Orn-Hyp-hle-Pff-Phe]	857	E
181	Ac-Phe-[Orn-Hyp-hle-Trp-Phe]	877.9	D
182	Ac-Phe-[Orn-Hyp-Mmf-Trp-Nle]	877.5	E
183	Ac-Phe-[Orn-Hyp-Mmf-Trp-Phe]	911.8	E
184	Ac-Phe-[Orn-NMD-cha-Trp-Nle]	885.5	E
185	Ac-Phe-[Orn-Pip-hle-Bta-Phe]	892.7	E
186	Ac-Phe-[Orn-Pro-cha-Pff-Nle]	833.3	E

187	Ac-Phe-[Orn-Pro-cha-Pff-Phe]	867.4	E
188	Ac-Phe-[Orn-Pro-cha-Trp-1Ni]	937.7	E
189	Ac-Phe-[Orn-Pro-cha-Trp-Cha]	893.6	E
190	Ac-Phe-[Orn-Pro-cha-Trp-Chg]	879.7	E
191	Ac-Phe-[Orn-Pro-cha-Trp-Cit]	897.5	F
192	Ac-Phe-[Orn-Pro-cha-Trp-Ecr]	891.7	D
193	Ac-Phe-[Orn-Pro-cha-Trp-Leu] [SEQ ID NO: 39]	853.5	E
194	Ac-Phe-[Orn-Pro-cha-Trp-nle]	853.5	E
195	Ac-Phe-[Orn-Pro-cha-Trp-Phe] [SEQ ID NO: 40]	887.7	D
196	Ac-Phe-[Orn-Pro-hle-Bta-Nle]	844.7	E
197	Ac-Phe-[Orn-Pro-hle-Bta-Phe]	879.5	E
198	Ac-Phe-[Orn-Pro-hle-Pff-Phe]	840.9	E
199	Ac-Phe-[Orn-Pro-hle-Trp-Nle]	828.1	D
200	Ac-Phe-[Orn-Ser-cha-Trp-Nle]	843.5	E
201	Ac-Phe-[Orn-Ser-cha-Trp-Nle]	843.5	E
202	Ac-Phe-[Orn-Ser-hle-Trp-Nle]	817.5	E
203	Ac-Phe-[Orn-Thr-cha-Trp-Nle]	858.2	E
204	Ac-Phe-[Orn-Tic-cha-Trp-Nle]	915.5	E
205	Ac-Phe-[Orn-Tic-cha-Trp-Nle]	915.5	E
311	Ac-Thi-[Orn-Pro-hle-Bta-Phe]	884.8	E
315	Bzl-[Orn-Pro-cha-Bta-Nle]	771.8	E
317	Def-[Orn-Ser-hle-Trp-Nle]	831.9	E
318	Eby-Phe-[Orn-Hyp-cha-Trp-Phe]	1008.9	E
319	Eth-Phe-[Orn-Pro-hle-Pff-Nle]	792.4	E
323	Fai-Phe-[Orn-Hyp-cha-Trp-Phe]	904.4	E
325	Fbi-Phe-[Orn-Pro-cha-Trp-Nle]	930.5	E
326	Fbn-Phe-[Orn-Hyp-cha-Trp-Phe]	966.8	E
327	Fbn-Phe-[Orn-Pro-cha-Trp-Nle]	916.5	E
328	Fbn-Phe-[Orn-Pro-cha-Trp-Nle]	916.5	C

330	Fbo-Phe-[Orn-Pro-cha-Trp-Nle]	924.5	E
331	Fbp-[Orn-Pro-cha-Trp-Nle]	839.4	E
332	Fci-[Phe-Orn-Hyp-cha-Trp-Phe]	973.1	E
333	Fck-[Phe-Orn-Pro-cha-Trp-Nle]	1046.4	E
334	Fck-Phe-[Orn-Pro-cha-Trp-Nle]	1047.1	E
335	Fha-Phe-[Orn-Hyp-cha-Trp-Phe]	988.9	E
336	Fhb-[Phe-Orn-Hyp-cha-Trp-Phe]	979.1	E
337	Fhi-Phe-[Orn-Hyp-cha-Trp-Phe]	1022	E
338	Fhu-Phe-[Orn-Pro-hle-Pff-Nle]	807	E
341	H-Amf-[Orn-Aze-hle-Pff-Nle]	750.9	E
342	H-Bal-Phe-[Orn-Hyp-hle-Trp-Nle]	872.5	E
343	H-Bal-Phe-[Orn-Pro-hle-Pff-Nle]	836	E
344	H-Eby-[Orn-Hyp-hle-Trp-Nle]	801.9	E
347	Hoo-Phe-[Orn-Hyp-hle-Pff-Nle]	921	E
353	H-Phe-[Lys-Hyp-hle-Pff-Nle]	795.2	E
354	H-Phe-[Orn-Hym-hle-Mcf-Nle]	811.4	E
355	H-Phe-[Orn-Hym-hle-Pff-Phe]	829.1	E
356	H-Phe-[Orn-Hyp-cha-Trp-Nle]	828.1	D
357	H-Phe-[Orn-Hyp-cha-Trp-Phe]	862.1	D
358	H-Phe-[Orn-Hyp-ctb-Pff-Nle]	813.2	E
359	H-Phe-[Orn-Hyp-ctb-Trp-Nle]	834.2	D
360	H-Phe-[Orn-Hyp-ctb-Trp-Phe]	868	D
361	H-Phe-[Orn-Hyp-hle-Mcf-Leu]	796.4	E
362	H-Phe-[Orn-Hyp-hle-Pff-Chg]	807	E
363	H-Phe-[Orn-Hyp-hle-Pff-Hle]	795.1	E
364	H-Phe-[Orn-Hyp-hle-Pff-Leu]	781.2	E
365	H-Phe-[Orn-Hyp-hle-Pff-Nle]	781.1	E
366	H-Phe-[Orn-Hyp-hle-Pff-Phe]	815	E
367	H-Phe-[Orn-Hyp-hle-Trp-Hle]	815.9	E

368	H-Phe-[Orn-Hyp-hle-Trp-Leu]	802.1	D
369	H-Phe-[Orn-Hyp-hle-Trp-Nle]	801.5	D
370	H-Phe-[Orn-Hyp-hle-Trp-Nva]	787.3	E
371	H-Phe-[Orn-Hyp-hle-Trp-Phe]	835.6	D
372	H-Phe-[Orn-NMS-cha-Trp-Nle]	816.1	E
373	H-Phe-[Orn-NMS-hle-Pff-Phe]	802.7	E
374	H-Phe-[Orn-Pro-cha-Pff-Nle]	790.7	E
375	H-Phe-[Orn-Pro-cha-Pff-Phe]	825.2	E
376	H-Phe-[Orn-Pro-cha-Trp-Nle]	811.5	E
377	H-Phe-[Orn-Pro-hle-Mcf-Phe]	815.3	D
378	H-Phe-[Orn-Pro-hle-Ocf-Phe]	815.3	E
379	H-Phe-[Orn-Pro-hle-Pff-Nle]	765.3	E
380	H-Phe-[Orn-Pro-hle-Pff-Phe]	799.2	D
381	H-Phe-[Orn-Pro-hle-Trp-Nle]	786.1	D
382	H-Phe-[Orn-Ser-cha-Trp-Nle]	802.1	D
383	H-Phe-[Orn-Ser-cha-Trp-Phe] [SEQ ID NO: 50]	835.4	D
384	H-Phe-[Orn-Ser-hle-Eaa-Nle]	805.7	E
385	H-Phe-[Orn-Ser-hle-Mcf-Leu]	771.5	E
386	H-Phe-[Orn-Ser-hle-Ocf-Nle]	771.3	E
387	H-Phe-[Orn-Ser-hle-Pff-Leu]	755.2	E
388	H-Phe-[Orn-Ser-hle-Pff-Nle]	754.8	D
389	H-Phe-[Orn-Ser-hle-Pff-Phe]	788.7	E
390	H-Phe-[Orn-Ser-hle-Trp-Nle]	775.7	D
392	Ohf-[Orn-Hyp-hle-Trp-Nle]	802.4	E
393	Tmg-Phe-[Orn-Hyp-cha-Trp-Phe]	959.9	E

50	Ac-Phe-Orn-Pro-cha-Trp-Arg-NH2 [SEQ ID NO: 73]	913.3	E
51	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 10]	904.5	D

52	Ac-Phe-Orn-Aze-cha-Bta-Phe-NH2	907.5	C
53	Ac-Phe-Orn-Pro-cha-Bta-2Ni-NH2	954.4	D
54	Ac-Phe-Orn-Pro-cha-Bta-Cha-NH2	910.5	E
55	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH2	941.3	D
60	Ac-Phe-Lys-Ala-Cha-Ala-Leu-ala-Tyr-OH [SEQ ID NO: 74]	978.9	F
61	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 11]	904.9	D
62	Ac-Phe-Orn-Pro-cha-Bta-Phe-NH2	921.8	D
64	Ac-Phe-Orn-Pro-cha-Trp-2Ni-NH2	954.9	D
65	Ac-Phe-Orn-Pro-cha-Trp-Cha-NH2	911.1	E
66	Ac-Thi-Orn-Aze-cha-Bta-Phe-NH2	913.5	C
67	Ac-Thi-Orn-Pip-cha-Bta-Phe-NH2	941.3	D
68	Ac-Phe-Orn-Pro-cha-Trp-Eap-NH2	960.9	F
69	Me2-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 12]	890.8	E
70	Ph2-CH-CH2-CO-Orn-Pro-cha-Trp-Phe-NH2	923.7	F
71	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH2	980.8	F
72	Ac-Phe-Orn-Pro-cha-Trp-NH-CH2-CH2-Ph	861.8	F
73	Ac-Phe-Orn-Aze-cha-Bta-NH-CH2-CH2-Ph	864.7	F
74	H-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 13]	862.7	E
75	H-Me-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 14]	876.7	E
76	Bu-NH-CO-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 15]	961.8	F
77	Ac-Thi-Orn-Pro-cha-Trp-Phe-NH2	910.7	E
78	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH2	980.8	E
79	Ac-Phe-Orn-Ala-cha-Trp-Phe-NH2 [SEQ ID NO: 16]	878.7	E
80	Ac-Phe-Orn-Pro-cha-Trp-Thi-NH2	910.7	E
81	Ac-Phe-Orn-Aze-cha-Pcf-Phe-NH2	885.7	F
82	Ac-Phe-Orn(Ac)-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 17]	946.9	E
83	Ac-Phe-Orn-Aze-cha-Trp-Phe-NH2	890.9	D
84	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 18]	976.5	E

85	Ph-NH-CO-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 19]	981.7	E
86	Bu-O-CO-Phe-Orn-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 20]	963.2	F
87	Ac-Phe-Lys-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 21]	918.4	E
88	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 22]	946.4	D
89	Ac-Phe-Gln-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 23]	918.4	F
90	Ac-Phe-Ser-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 51]	877.3	F
91	Ac-Phe-Glu-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 52]	919.3	F
92	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH2	919.8	E
93	Ac-Phe-Orn-Hyp-cha-Trp-Phe-NH2	920.3	F
94	Ac-Phe-Orn-Pro-cha-Trp-1Ni-NH2	934.5	D
95	Ac-Phe-Orn-Aze-cha-Bta-Phe-NH-Me	921.6	F
96	CH3-SO2-Phe-Orn-Aze-cha-Bta-Phe-NH2	943.9	D
99	Ac-Phe-Orn-Aze-cha-Pff-Phe-NH2	869.7	E
100	Ac-Phe-Orn-Aze-cha-Mcf-Phe-NH2	885.7	E
101	Ac-Phe-Orn(Ac)-Aze-cha-Bta-Phe-NH2	921.7	D
102	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH2	980.8	E
103	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 24]	876.5	E
104	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 25]	946.4	E
105	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH2	919.8	E
106	3PP-Orn-Aze-cha-Bta-Phe-NH2	850.8	E
107	Ac-Phe-Orn-Tic-cha-Trp-Phe-NH2	966.3	E
108	Ac-Phe-Orn-Ser-cha-Trp-Phe-NH2 [SEQ ID NO: 26]	894.5	D
109	Ac-Phe-Orn-Pro-chg-Trp-Phe-NH2 [SEQ ID NO: 27]	890.4	E
110	Ac-Phe-Orn-Pro-hch-Trp-Phe-NH2 [SEQ ID NO: 28]	918.5	D
111	Ac-Phe-Orn-Pro-cha-Trp-Phg-NH2	890.4	F
112	Ac-Phe-Bta-Aze-cha-Bta-Phe-NH2	996.6	D
113	Ac-Phe-Trp-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 29]	993.7	E
115	Ac-Phe-Orn-Pip-cha-Trp-Phe-OH	919.4	F

116	Ac-Phe-Orn-Tic-cha-Trp-Phe-OH	967.7	F
117	Ac-Phe-Orn-Ser-cha-Trp-Phe-OH [SEQ ID NO: 30]	895.7	F
118	Ac-Phe-Orn-Pro-chg-Trp-Phe-OH [SEQ ID NO: 31]	891.8	F
119	Ac-Phe-Eec-Pro-cha-Bta-Phe-NH2	1041.7	E
120	Ac-Phe-Nle-Pro-cha-Bta-Phe-NH2	920.5	E
121	Ac-Phe-Har-Pro-cha-Bta-Phe-NH2	978.0	D
122	Ac-Phe-Arg-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 32]	964.0	D
123	Ac-Phe-Cys(Acm)-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 33]	981.5	F
124	Ac-Phe-Mpa-Pro-cha-Bta-Phe-NH2	955.7	E
125	Ac-Eby-Orn-Pro-cha-Bta-Phe-NH2	921.7	D
126	Ac-Phg-Orn-Pro-cha-Bta-Phe-NH2	907.8	E
127	Ac-Phe-Paf-Pro-cha-Bta-Phe-NH2	969.6	F
128	H2N-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2	922.8	D
129	Me-O-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2	937.8	E
130	(-CO-CH2-NH-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH2	962.9	E
132	Ac-Phe-Orn-Pro-hch-Trp-Phe-OH [SEQ ID NO: 34]	919.8	E
133	(-CO-CH2-CH2-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH2	961.9	F
134	tBu-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2	963.9	E
135	Ac-Lys-Phe-Orn-Aze-cha-Bta-Phe-NH2	1036.0	C
136	Ac-Gly-Phe-Orn-Aze-cha-Bta-Phe-NH2	965.0	D
137	Ac-Arg-Phe-Orn-Aze-cha-Bta-Phe-NH2	1064.1	D
138	Ac-His-Phe-Orn-Aze-cha-Bta-Phe-NH2	1045.0	E
139	Ac-Ser-Phe-Orn-Aze-cha-Bta-Phe-NH2	995.0	E
140	Ac-Guf-Phe-Orn-Aze-cha-Bta-Phe-NH2	1112.1	E
141	Ac-Dab-Phe-Orn-Aze-cha-Bta-Phe-NH2	1008.0	E
142	FH2C-CO-Phe-Orn-Pro-cha-Bta-Phe-NH2	939.8	D
143	Ac-Phe-Orn(Et2)-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 35]	960.9	E
148	Ac-Phe-N(nBu)-CH2-CO-Pro-cha-Trp-Phe-NH2 [SEQ ID NO: 53]	920.8	F

149	Ac-Phe-Orn-Pro-hle-Bta-Phe-NH2	895.4	C
150	Ac-Phe-Arg(CH2-CH2)-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 37]	990.1	B
151	Ac-Ala-Phe-Orn-Aze-cha-Bta-Phe-NH2	978.8	D
152	Ac-Arg-Phe-Orn-Aze-cha-Bta-Phe-NH2	1063.8	D
153	Ac-Cit-Phe-Orn-Aze-cha-Bta-Phe-NH2	1064.7	D
154	Ac-Gly-Phe-Orn-Aze-cha-Bta-Phe-NH2	964.7	C
155	Ac-Gly-Phe-Orn-Aze-chg-Bta-Phe-NH2	950-3	E
156	Ac-Gly-Phe-Orn-Aze-hch-Bta-Phe-NH2	978.3	E
157	Ac-Gly-Thi-Orn-Aze-cha-Bta-Phe-NH2	971	D
158	Ac-His-Phe-Orn-Aze-cha-Bta-Phe-NH2	1044.3	E
159	Ac-Hyp-Phe-Orn-Aze-cha-Bta-Phe-NH2	1020.7	D
160	Ac-Lys-Phe-Orn-Aze-cha-Bta-Phe-NH2	1035.8	D
161	Ac-Mff-Orn-Pro-cha-Bta-Phe-NH2	939.5	E
162	Ac-Mff-Orn-Pro-hle-Bta-Phe-NH2	913.4	E
163	Ac-Mff-Orn-Pro-hle-Mcf-Mff-NH2	909.9	E
164	Ac-Mmy-Orn-Pro-hle-Pff-Phe-NH2	888	E
165	Ac-NMF-Orn-Pro-cha-Bta-Phe-NH2	935.5	E
166	Ac-Off-Orn-Pro-cha-Bta-Phe-NH2	940	D
167	Ac-Off-Orn-Pro-hle-Bta-Phe-NH2	913.4	D
168	Ac-Orn-Phe-Orn-Aze-cha-Bta-Phe-NH2	1043.8	E
169	Ac-Pff-Orn-Pro-cha-Bta-Phe-NH2	940	D
170	Ac-Pff-Orn-Pro-hle-Bta-Phe-NH2	913.4	E
171	Ac-Pff-Orn-Pro-hle-Mcf-Pff-NH2	909.6	E
206	Ac-Phe-Ala-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 41]	878.5	E
207	Ac-Phe-Arg-Pro-hle-Bta-Phe-NH2 [SEQ ID NO: 42]	937.7	E
208	Ac-Phe-Arg-Pro-hle-Mcf-Phe-NH2 [SEQ ID NO: 43]	915.9	E
209	Ac-Phe-Cit-Hyp-hle-Bta-Phe-NH2	954.7	E
210	Ac-Phe-Cit-Pro-cha-Bta-Phe-NH2	964.7	E

211	Ac-Phe-Cit-Pro-hle-Bta-Phe-NH2	939	D
212	Ac-Phe-Cit-Ser-hle-Bta-Phe-NH2	928.7	E
213	Ac-Phe-Dab-Aze-cha-Bta-Phe-NH2	894	D
214	Ac-Phe-Dab-Aze-hle-Bta-Phe-NH2	868.1	D
215	Ac-Phe-Dab-Pro-cha-Bta-Phe-NH2	907.9	C
216	Ac-Phe-Dap-Pro-cha-Bta-Phe-NH2	893.7	E
217	Ac-Phe-Ech-Pro-cha-Bta-Phe-NH2	1033.7	E
218	Ac-Phe-Eep-Pro-cha-Bta-Phe-NH2	1013.5	E
219	Ac-Phe-Fcn-Aze-cha-Bta-Phe-NH2	961.9	C
220	Ac-Phe-Fcn-Pro-cha-Bta-Phe-NH2	975.9	C
221	Ac-Phe-Fco-Pro-cha-Bta-Phe-NH2	935.8	D
222	Ac-Phe-Fco-Pro-cha-Bta-Phe-NH2	962	E
223	Ac-Phe-Fcp-Aze-cha-Bta-Phe-NH2	1444	D
224	Ac-Phe-Ffa-Aze-cha-Bta-Phe-NH2	976	D
225	Ac-Phe-Ffa-Pro-cha-Bta-Phe-NH2	990	D
226	Ac-Phe-Ffa-Pro-hle-Bta-Phe-NH2	964	C
227	Ac-Phe-G23-Pro-cha-Bta-Phe-NH2	1000.3	E
228	Ac-Phe-Guf-Pro-cha-Bta-Phe-NH2	1011.9	D
229	Ac-Phe-Har-Aze-cha-Bta-Phe-NH2	964.1	C
230	Ac-Phe-His-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 44]	944.3	E
231	Ac-Phe-L22-Pro-cha-Bta-Phe-NH2	949.8	C
232	Ac-Phe-OrA-Pro-cha-Bta-Phe-NH2	963.6	E
233	Ac-Phe-OrE-Pro-cha-Bta-Phe-NH2	977.8	E
234	Ac-Phe-Orn-Aze-hle-Bta-Phe-NH2	881.9	D
235	Ac-Phe-Orn-Chy-cha-Bta-Phe-NH2	937.4	E
236	Ac-Phe-Orn-Chy-hle-Pff-Phe-NH2	873.8	E
237	Ac-Phe-Orn-G24-cha-Bta-Phe-NH2	923.8	E
238	Ac-Phe-Orn-G25-cha-Bta-Phe-NH2	939.8	E
239	Ac-Phe-Orn-G26-cha-Bta-Phe-NH2	961.8	E

240	Ac-Phe-Orn-G27-cha-Bta-Phe-NH2	972.7	E
241	Ac-Phe-Orn-G30-cha-Bta-Phe-NH2	1006.8	E
242	Ac-Phe-Orn-G31-cha-Bta-Phe-NH2	1045.9	E
243	Ac-Phe-Orn-Hse-cha-Bta-Phe-NH2	925.9	E
244	Ac-Phe-Orn-Hyp-hle-Bta-Phe-NH2	911.7	E
245	Ac-Phe-Orn-Hyp-hle-Pff-Phe-NH2	874	E
246	Ac-Phe-Orn-NMA-cha-Bta-Phe-NH2	909.8	E
247	Ac-Phe-Orn-NMS-cha-Bta-Phe-NH2	925.8	E
248	Ac-Phe-Orn-Pro-cha-1Ni-Phe-NH2	916	E
249	Ac-Phe-Orn-Pro-cha-Bta-1Ni-NH2	971.9	E
250	Ac-Phe-Orn-Pro-cha-Bta-Bhf-NH2	935.9	D
251	Ac-Phe-Orn-Pro-cha-Bta-Dff-NH2	957.7	D
252	Ac-Phe-Orn-Pro-cha-Bta-Eaa-NH2	933.9	E
253	Ac-Phe-Orn-Pro-cha-Bta-L19	979.1	E
254	Ac-Phe-Orn-Pro-cha-Bta-Mcf-NH2	955.9	E
255	Ac-Phe-Orn-Pro-cha-Bta-Mff-NH2	939.8	C
256	Ac-Phe-Orn-Pro-cha-Bta-NH-CH(CH2OH)-CH2-Ph	964.6	E
257	Ac-Phe-Orn-Pro-Cha-Bta-NH-NBn-CO-NH2	922.8	E
258	Ac-Phe-Orn-Pro-cha-Bta-Opa-NH2	922.9	E
259	Ac-Phe-Orn-Pro-cha-Bta-Pcf-NH2	956.1	D
260	Ac-Phe-Orn-Pro-cha-Bta-Pmf-NH2	935.8	D
261	Ac-Phe-Orn-Pro-cha-Bta-Thi-NH2	927.8	C
262	Ac-Phe-Orn-Pro-cha-Otf-Phe-NH2	933.9	E
263	Ac-Phe-Orn-Pro-ctb-Bta-Phe-NH2	927.4	D
264	Ac-Phe-Orn-Pro-ctb-Eaa-Phe-NH2	940.2	D
265	Ac-Phe-Orn-Pro-ctb-Mcf-Phe-NH2	906.3	E
266	Ac-Phe-Orn-Pro-ctb-Pff-Phe-NH2	890.1	D
267	Ac-Phe-Orn-Pro-hch-Trp-Phe-OH [SEQ ID NO: 45]	919.8	E
268	Ac-Phe-Orn-Pro-hle-1Ni-Phe-NH2	889.7	D

269	Ac-Phe-Orn-Pro-hle-6FW-Phe-NH2	897	E
270	Ac-Phe-Orn-Pro-hle-Bta-1Ni-NH2	945.8	E
271	Ac-Phe-Orn-Pro-hle-Bta-2Ni-NH2	946	E
272	Ac-Phe-Orn-Pro-hle-Bta-5Ff-NH2	985.7	E
273	Ac-Phe-Orn-Pro-hle-Bta-Aic-NH2	908	E
274	Ac-Phe-Orn-Pro-hle-Bta-Cha-NH2	902	E
275	Ac-Phe-Orn-Pro-hle-Bta-Chg-NH2	888	E
276	Ac-Phe-Orn-Pro-hle-Bta-Eaa-NH2	964.4	E
277	Ac-Phe-Orn-Pro-hle-Bta-Egy-NH2	964.4	E
278	Ac-Phe-Orn-Pro-hle-Bta-Pcf-NH2	930.2	E
279	Ac-Phe-Orn-Pro-hle-Bta-Pff-NH2	913.7	E
280	Ac-Phe-Orn-Pro-hle-Bta-Phe-NH2	895.8	D
281	Ac-Phe-Orn-Pro-hle-Bta-phe-OH	897	E
282	Ac-Phe-Orn-Pro-hle-Bta-Tyr-NH2	911.5	E
283	Ac-Phe-Orn-Pro-hle-Dff-Phe-NH2	875.4	E
284	Ac-Phe-Orn-Pro-hle-Eaa-Phe-NH2	907.4	E
285	Ac-Phe-Orn-Pro-hle-Egc-Phe-NH2	892.8	E
286	Ac-Phe-Orn-Pro-hle-Egy-Phe-NH2	908.3	E
287	Ac-Phe-Orn-Pro-hle-Egz-Phe-NH2	885	E
288	Ac-Phe-Orn-Pro-hle-Mcf-2Ni-NH2	924.3	E
289	Ac-Phe-Orn-Pro-hle-Mcf-Cha-NH2	880.3	D
290	Ac-Phe-Orn-Pro-hle-Mcf-Pff-NH2	892.1	E
291	Ac-Phe-Orn-Pro-hle-Mcf-Phe-NH2	874.2	E
292	Ac-Phe-Orn-Pro-hle-Mff-Phe-NH2	857.9	E
293	Ac-Phe-Orn-Pro-hle-Mmy-Phe-NH2	870.1	E
294	Ac-Phe-Orn-Pro-hle-Ocf-Phe-NH2	874.1	E
295	Ac-Phe-Orn-Pro-hle-Off-Phe-NH2	857.9	E
296	Ac-Phe-Orn-Pro-hle-Otf-Phe-NH2	907.8	E
297	Ac-Phe-Orn-Pro-hle-Pff-2Ni-NH2	908.1	E

298	Ac-Phe-Orn-Pro-hle-Pff-Cha-NH2	864	E
299	Ac-Phe-Orn-Pro-hle-Pff-Eaa-NH2	926.3	E
300	Ac-Phe-Orn-Pro-hle-Pff-Mmy-NH2	888.1	E
301	Ac-Phe-Orn-Pro-hle-Pff-Pff-NH2	876	E
302	Ac-Phe-Orn-Pro-hle-Pff-Phe-NH2	857.7	E
304	Ac-Phe-Orn-Pro-hle-Phe-Phe-NH2 [SEQ ID NO: 46]	839.7	E
305	Ac-Phe-Orn-Pro-hle-Tff-Phe-NH2	893.8	E
306	Ac-Phe-Orn-Pro-hle-Trp-Phe-NH2 [SEQ ID NO: 47]	878.9	E
307	Ac-Phe-Orn-Pro-ile-Trp-Phe-NH2 [SEQ ID NO: 48]	864.5	B
308	Ac-Phe-Orn-Pro-omf-Bta-Phe-NH2	929.8	E
309	Ac-Phe-Orn-Ser-cha-Bta-Phe-NH2	912	D
310	Ac-Ser-Phe-Orn-Aze-cha-Bta-Phe-NH2	994.7	C
312	Ac-Thi-Orn-Pro-cha-Bta-Phe-NH2	927.8	D
313	Ac-Thi-Orn-Pro-cha-Bta-Thi-NH2	933.8	D
314	Ac-Thr-Phe-Orn-Aze-cha-Bta-Phe-NH2	1008.7	D
316	CH3CH2CO-Phe-Orn-Pro-cha-Bta-Phe-NH2	935.9	D
320	FAc-Phe-Fib-Aze-cha-Bta-Phe-NH2	1023.9	E
321	FAc-Phe-Orn-Aze-cha-Bta-Phe-NH2	925.7	D
322	FAc-Phe-Orn-Pro-cha-Bta-Phe-NH2	939.8	D
324	Faz-Orn-Pro-cha-Bta-Phe-NH2	864.7	E
329	Fbn-Phe-Cit-Pro-hle-Bta-Phe-NH2	1001.9	E
339	Fhu-Phe-Orn-Pro-cha-Bta-Phe-NH2	921.8	E
340	Fid-Phe-Orn-Pro-cha-Bta-Phe-NH2	966.6	E
345	H-Gly-Phe-Orn-Pro-cha-Bta-Phe-NH2 [SEQ ID NO: 49]	936.7	E
346	H-Nip-Phe-Cit-Pro-hle-Bta-Phe-NH2	1007.7	E
348	Hoo-Phe-Cit-Pro-hle-Pff-Phe-NH2	999	E
349	Hoo-Phe-Orn-Hyp-hle-Pff-Phe-NH2	971.8	E
350	Hoo-Phe-Orn-Pro-hle-Bta-Phe-NH2	994.2	D
351	Hoo-Phe-Orn-Pro-hle-Mcf-Phe-NH2	972.3	D

352	Hoo-Phe-Orn-Pro-hle-Pff-Phe-NH2	956	D
391	H-Phe-Cit-Pro-hle-Bta-Phe-NH2	896.7	E

Please replace Table 5 on page 100 with the following amended table:

Table 5: Data for agonistic activity of selected compounds according to the present invention

No.	Compound	EC_{50} (nM)
-	hrC5a	2,4
3	HOCH2(CHOH)4-C=N-O-CH2-CO-Phe[OP-dCha-W-Nle]	»1430
41	Ph-CH_2-CH_2-CO-[Orn-Pro-cha-Trp-Nle]	»1430
2	Ac-Phe-[Orn-Hyp-cha-Trp-Phe]	»1430
42	H-Phe-[Orn-Pro-cha-Trp-Nle]	»1430
1	Ac-Phe-[Orn-Pro-cha-Trp-Phe]	»1430
43	Ac-Lys-Phe-[OP-dCha-W-Nle]	»1430
28	H-Phe-[Orn-Pro-cha-Trp-Nle]	»1430
44	H-Phe-[Orn-Ser-cha-Trp-Nle]	»1430
33	Ac-Phe-[Orn-Pro-cha-Trp-Eaf]	»1430
61	Ac-Phe-Orn-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 11]	>100000
62	Ac-Phe-Orn-Pro-cha-Bta-Phe-NH_2	>100000
71	Ac-Ebw-Orn-Pro-cha-Trp-Phe-NH_2	>100000
88	Ac-Phe-Arg-Pro-cha-Trp-Phe-NH_2 [SEQ ID NO: 22]	>100000
55	Ac-Phe-Orn-Pip-cha-Trp-Phe-NH_2	>100000
83	Ac-Phe-Orn-Aze-cha-Trp-Phe-NH_2	>100000
84	Ac-Phe-Trp-Pro-cha-Trp-Phe-NH_2 [SEQ ID	>100000

	NO: 18]	
67	Ac-Thi-Orn-Pip-cha-Bta-Phe-NH_2	>100000

Please replace Table 6 on page 101 with the following amended table:

Table 6: Solubility of some representatives of the compounds according to the invention

No.	Compound	Solubility in 20 mM HEPES pH 7.4 (% of 200 µM)
1	**Ac-Phe-[Orn-Pro-cha-Trp-Phe]** [SEQ ID NO: 7]	8
2	**Ac-Phe-[Orn-Hyp-cha-Trp-Phe]**	13
28	**Ac-Phe-[Orn-Pro-cha-Trp-Nle]**	22
42	**H-Phe-[Orn-Pro-cha-Trp-Phe]** [SEQ ID NO: 75]	45
4	**X-Phe-[Orn-Pro-cha -Trp-Nle]; X = 2-Acetamido-1-Methyl-Glucuronyl**	84
40	**Ac-Phe-[Orn-Pro-cha-Trp-Arg]** [SEQ ID NO: 68]	94
43	**Ac-Lys-Phe-[Orn-Pro-cha-Trp-Nle]** [SEQ ID NO: 9]	93

Please replace paragraph 1 on page 103 with the following amended paragraph:

Example 15: Measurement of the AB- permeability in a TC-7 based assay-system

The compounds to be tested are diluted to a concentration of 50 µM in HBSS-MES (5 mM, pH 6.5) from 10 mM stock solution in 100 % DMSO. ^{14}C-mannitol (approx. 4 µM) is added to the sample. Subsequently, the solution is centrifuged and the supernatant is added to the apical side of a TC-7 cell culture (passage 15, in a 24 well transwell plate) to a final DMSO-concentration of 1 %. HBSS-HEPES (5 mM, pH 7.4) is placed at the basolatheral side. Subsequently, the cells

were incubated for 120 min at 37°C. The integrity of the TC-7 cell-layer was tested by the added mannitol (P_{app} <2.5 10^{-6} cm/s). The permeability P_{app} [cm/s] is derived from the equation $(V_R x C_{R120})/(\Delta t x A x (C_{D,mid}-C_{R,mid}))$, whereby V_R is the volume of the receiver chamber, C_{R120} is the concentration of the test compound in the receiver camber after 120 min, Δt is the incubation time, A is the area of the TC-7 cell-layer, $C_{D,mid}$ is the midpoint concentration of the test compound in the donor chamber and $C_{R,mid}$ is the concentration of the test compound in the receiver chamber.

Compound	AB-permeability [cm/s]
Ac-Phe[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 6]	0.52
Ac-Phe[Orn-Hyp-cha-Trp-Phe]	14.25

Please replace paragraph 2 on page 103 with the following amended paragraph:

Example 16: Synthesis of Ac-Phe-Orn-Pro-cha-Trp-Phe-NH_2 (51) [SEQ ID NO: 10]

The peptide was prepared by linear peptide synthesis in accordance with AAV 1. Subsequent, purification by HPLC yielded 10.0 mg of the desired product **51**as a white solid.

MS (ESI): m/z = 904.5 $[(M+H)^+]$.

Please replace paragraph 3 on page 105 with the following amended paragraph:

Example 22: Synthesis of (-CO-CH_2-NH-CO-)-Phe-Orn-Pro-cha-Bta-Phe-NH_2 (130)

The resin-bound peptide H-Gly-Phe-Orn-Pro-cha-Bta-Phe-Rink-amide resin [SEQ ID NO: 76] was synthesized in accordance with AAV 1. Subsequently, the peptide was incubated for three hours with disuccinimidylcarbonate (3 eq.) and DIPEA (3 eq.) in DMF was added and agitated for 3 hours. Subsequently, additional 3 eq. DIPEA were added and the reaction was agitated for

another five hours at room temperature. After cleavage from the resin with a mixture of 95 % TFA, 2.5 % water, and 2.5 % TIPS, purification was performed by HPLC. 3.8 mg of the compound were obtained as a white solid.

MS (ESI): m/z = 962.9 [$(M+H)^+$].

Please replace paragraph 3 on page 106 with the following amended paragraph:

Example 25: Synthesis of Ac-Phe-Orn(Et_2)-Pro-cha-Trp-Phe-NH_2 (143) [SEQ ID NO: 35]

10.0 mg of compound **51** were obtained after linear peptide synthesis in accordance with AAV 1 and subsequent purification by HPLC. 5.0 mg of this compound were dissolved in THF and 1 ml acetaldehyde was added. The suspension was slowly stirred for 12 h at RT after addition of 100 mg (polystyrene methyl)trimethyl-ammoniumcyanoborhydride (3 mmol/g). Subsequently, the resin was filtered off and the mixture was evaporated to dryness. After purification by HPLC 1.2 mg of the desired compound **143** were obtained.

MS (ESI): m/z = 960.9 [$(M+H)^+$].

Please replace paragraph 1 on page 107 with the following amended paragraph:

Example 27: Synthesis of Ac-Phe-Arg(CH_2CH_2)-Pro-cha-Bta-Phe-NH_2 (150) [SEQ ID NO: 37]

After linear peptide synthesis in accordance with AAV 1, 700 mg of Ac-Phe-Orn-Pro-cha-Bta-Phe-NH_2 (**62**) were obtained as crude product. To 15 mg of this crude product (0.016 mmol) 39.7 mg (10 eq.) 2-methylthio-2-imidazolin-hydroiodine and 55.4 µl (20 eq.) DIPEA in 1 ml MeCN were added and stirred at 40°C for one day. After removal of the solvent by using a rotary evaporator there was purification by HPLC and freeze drying after addition of 1 ml 0.1 N HCl and 0.5 ml MeCN, and 0.7 mg of compound **150** were obtained as white solid.

MS (ESI): m/z = 960.9 [$(M+H)^+$].

Please replace paragraph 3 on page 109 with the following amended paragraph:

Example 30: Comparison of activity of peptides with different C-terminal amino acids

The assay system described in example 11 was used to measure the following activity of compounds **10** and **40**:

10	Ac-Phe-[Orn-Pro-cha-Trp-Cit]	897,5	F
40	Ac-Phe-[Orn-Pro-cha-Trp-Arg] [SEQ ID NO: 68]	896,6	C

Note the pronounced drop in activity when the charged arginine (activity class C; i. e. <=20 nM) is replaced by the uncharged citrulline (activity class F; i. e. >200 nM).